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LOGINID:sssptal600rxa

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/CAPLUS records now contain indexing from 1907 to the
present
NEWS 4 Jul 15 Data from 1960-1976 added to RDISCLOSURE
NEWS 5 Jul 21 Identification of STN records implemented
NEWS 6 Jul 21 Polymer class term count added to REGISTRY
NEWS 7 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
Right Truncation available
NEWS 8 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 9 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 10 AUG 15 PATDPAFULL: one FREE connect hour, per account, in
September 2003
NEWS 11 AUG 15 PCTGEN: one FREE connect hour, per account, in
September 2003
NEWS 12 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in
September 2003
NEWS 13 AUG 15 TEMA: one FREE connect hour, per account, in
September 2003
NEWS 14 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 15 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 16 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 17 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 18 SEP 22 DIPPR file reloaded

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 08:49:03 ON 23 SEP 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 08:49:08 ON 23 SEP 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 SEP 2003 HIGHEST RN 590345-44-1

DICTIONARY FILE UPDATES: 21 SEP 2003 HIGHEST RN 590345-44-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

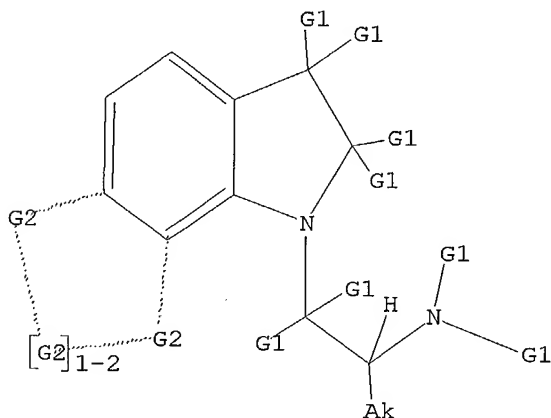
Uploading 10009567.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 H,Ak

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 08:49:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2172 TO ITERATE

46.0% PROCESSED 1000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 40645 TO 46235
PROJECTED ANSWERS: 2 TO 211

L2 2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 08:49:29 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 42856 TO ITERATE

100.0% PROCESSED 42856 ITERATIONS 34 ANSWERS
SEARCH TIME: 00.00.03

L3 34 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 08:49:35 ON 23 SEP 2003
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FILE COVERS 1907 - 23 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 22 Sep 2003 (20030922/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 1 L3

=> d ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2001:137191 CAPLUS

DOCUMENT NUMBER:

134:193338

TITLE:

Preparation and use of condensed indoline derivatives and their use as 5-HT_{2C} receptor ligands

INVENTOR(S):

Roffey, Jonathan Richard Anthony; Davidson, James Edward Paul; Mansell, Howard Langham Hamlyn, Richard John; Adams, David Reginald

PATENT ASSIGNEE(S):

Vernalis Research Limited, UK

SOURCE:

PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

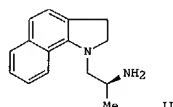
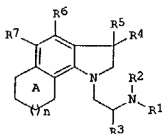
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

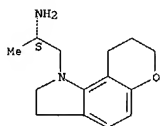
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012602	A1	20010222	WO 2000-GB3008	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, NG, TD, TG				
BR 2000013314	A	20020402	BR 2000-13314	20000804
EP 1202964	A1	20020508	EP 2000-951696	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI, RO, MK, CY, AL				
JP 2003507366	T2	20030225	JP 2001-517500	20000804
ZA 2001010218	A	20021212	ZA 2001-10218	20011212
PRIORITY APPLN. INFO.: GB 1999-18965 A 19990811				
WO 2000-GB3008 W 20000804				
OTHER SOURCE(S): MARPAT 134:193338				
GI				



AB Novel compds. I and use thereof are claimed [wherein: R1, R2 are H, alkyl;

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

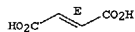


CM 2

CRN 110-17-8

CMF C4 H4 O4

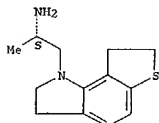
Double bond geometry as shown.



RN 327182-99-0 CAPLUS

CN 1H-Thieno[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-00-6 CAPLUS

CN 1H-Thieno[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327182-99-0

CMF C13 H18 N2 S

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

R3 is alkyl; R4, R5 are H, halo, OH, alkyl, aryl, NH2, alkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, alkylsulfonyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl; A is a 5- or 6-membered (un)satcd. (hetero)cyclic (n is 1 or 2)]. Eleven examples are given. The synthesis of II proceeded by alkylation of benz[g]indole with the corresponding N-tert-butoxycarbonyl-protected sidechain. The resulting indole was converted to the indoline with sodium cyanoborohydride in acetic acid. Deprotection with trifluoroacetic acid furnished II as an oil and isolation of a solid as its hemi-fumarate deriv. Comps. I showed affinity for 5-HT_{2A}, 5-HT_{2B} and 5-HT_{2C} receptors in a CHO cell line. Compd. II had a K_i of 107 nM in a radiolabeled [3H]-5-HT assay. Treatment of disorders of the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea, and particularly the treatment of obesity are claimed uses of compds. I.

IT

327182-96-7P 327182-97-8P 327182-99-0P

327183-00-6P 327183-03-9P 327183-07-3P

327183-08-4P 327183-09-5P 327183-10-8P

327183-11-9P 327183-12-0P 327183-13-1P

327183-15-3P 327183-16-4P 327183-17-5P

327183-18-6P 327183-03-5P 327185-04-6P

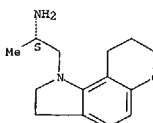
327185-05-7P

RI: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)

RN

CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327182-97-8 CAPLUS

CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

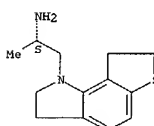
CM 1

CRN 327182-96-7

CMF C14 H20 N2 O

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

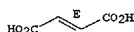


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-03-9 CAPLUS

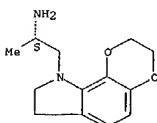
CN 9H-1,4-Dioxino[2,3-g]indole-9-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-02-8

CMF C13 H18 N2 O2

Absolute stereochemistry.

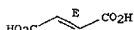


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-07-3 CAPLUS

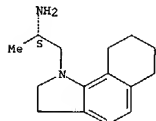
CN 1H-Benz[g]indole-1-ethanamine, 2,3,6,7,8,9-hexahydro-.alpha.-methyl-,

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-06-2
CMF C15 H22 N2

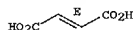
Absolute stereochemistry.



CM 2

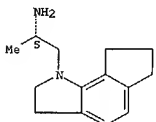
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-08-4 CAPLUS
CN Cyclopent[glindole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

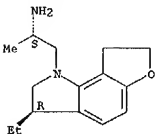


RN 327183-09-5 CAPLUS
CN Cyclopent[glindole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-08-4

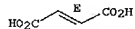
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

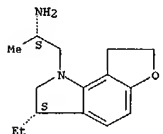
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-12-0 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-13-1 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

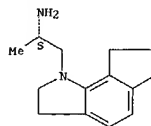
CM 1

CRN 327183-12-0
CMF C15 H22 N2 O

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C14 H20 N2

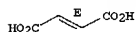
Absolute stereochemistry.



CM 2

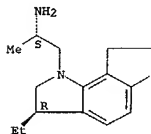
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-10-8 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



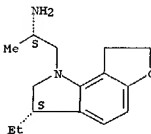
RN 327183-11-9 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-10-8
CMF C15 H22 N2 O

Absolute stereochemistry.

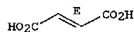
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

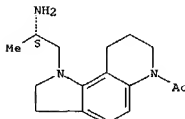


RN 327183-15-3 CAPLUS
CN 1H-Pyrrolo[2,3-f]quinoline-1-ethanamine, 6-acetyl-2,3,6,7,8,9-hexahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-14-2
CMF C16 H23 N3 O

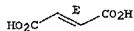
Absolute stereochemistry.



CM 2

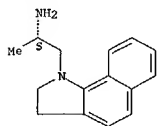
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



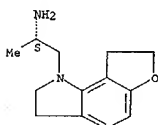
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 327183-16-4 CAPLUS
 CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-17-5 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-,
 dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

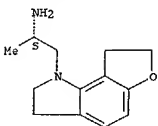
Absolute stereochemistry.



● 2 HCl

RN 327183-18-6 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-,
 (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

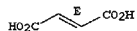


L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

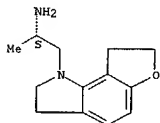


RN 327185-05-7 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-,
 (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-18-6
 CMF C13 H18 N2 O

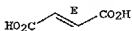
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



IT 327183-20-0P 327183-28-8P 327183-40-4P
 327183-52-8P 327183-58-4P 327183-60-8P
 327183-63-1P 327183-67-5P 327183-68-6P
 327183-72-2P 327185-07-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and use of condensed indoline derivs. and their use as 5-HT
 receptor ligands)

RN 327183-20-0 CAPLUS
 CN Carbamic acid, [(1S)-2-(2,3-dihydro-1H-benz[g]indol-1-yl)-1-methylethyl]-,
 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

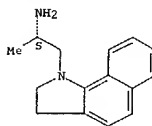
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 327185-03-5 CAPLUS
 CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)-,
 (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-16-4
 CMF C15 H18 N2

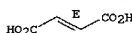
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

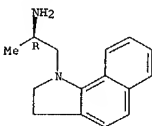


RN 327185-04-6 CAPLUS
 CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)-,
 (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

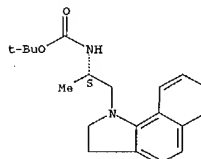
CM 1

CRN 327183-22-2
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Absolute stereochemistry.

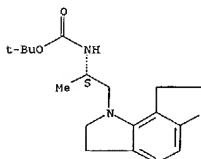


L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



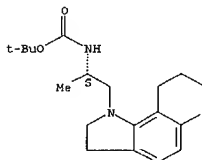
RN 327183-28-8 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-40-4 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-(2,3,8,9-tetrahydropyrano[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

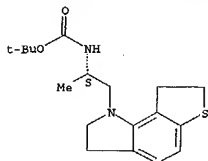
Absolute stereochemistry.



RN 327183-52-8 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-thieno[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

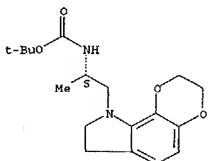
Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



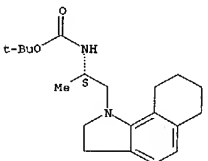
RN 327183-58-4 CAPLUS
CN Carbanic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-9H-1,4-dioxino[2,3-g]indol-9-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



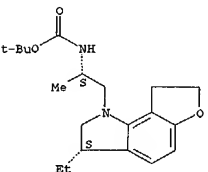
RN 327183-60-8 CAPLUS
CN Carbanic acid, [(1S)-2-(2,3,6,7,8,9-hexahydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



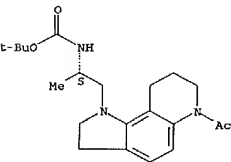
RN 327183-63-1 CAPLUS
CN Carbanic acid, [(1S)-1-methyl-2-(3,6,7,8-tetrahydrocyclopent[g]indol-1(2H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



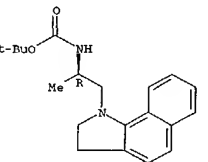
RN 327183-72-2 CAPLUS
CN Carbanic acid, [(1S)-2-(6-acetyl-2,3,6,7,8,9-hexahydro-1H-pyrrolo[2,3-f]quinolin-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327185-07-9 CAPLUS
CN Carbanic acid, [(1R)-2-(2,3-dihydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

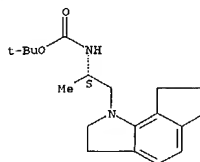
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

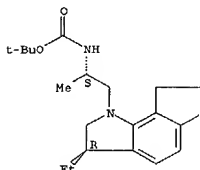
L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



RN 327183-67-5 CAPLUS
CN Carbanic acid, [(1S)-2-[(3R)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-68-6 CAPLUS
CN Carbanic acid, [(1S)-2-[(3S)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Page 8 09/24/2003

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

8.71

157.07

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-0.65

-0.65

STN INTERNATIONAL LOGOFF AT 08:55:27 ON 23 SEP 2003

Welcome to STN International! Enter x:x

LOGINID:sssptal600rxa

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/CAPLUS records now contain indexing from 1907 to the
present
NEWS 4 Jul 15 Data from 1960-1976 added to RDISCLOSURE
NEWS 5 Jul 21 Identification of STN records implemented
NEWS 6 Jul 21 Polymer class term count added to REGISTRY
NEWS 7 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
Right Truncation available
NEWS 8 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 9 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 10 AUG 15 PATDPAFULL: one FREE connect hour, per account, in
September 2003
NEWS 11 AUG 15 PCTGEN: one FREE connect hour, per account, in
September 2003
NEWS 12 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in
September 2003
NEWS 13 AUG 15 TEMA: one FREE connect hour, per account, in
September 2003
NEWS 14 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 15 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 16 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 17 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 18 SEP 22 DIPPR file reloaded

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:07:53 ON 24 SEP 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:08:00 ON 24 SEP 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 SEP 2003 HIGHEST RN 591719-82-3

DICTIONARY FILE UPDATES: 23 SEP 2003 HIGHEST RN 591719-82-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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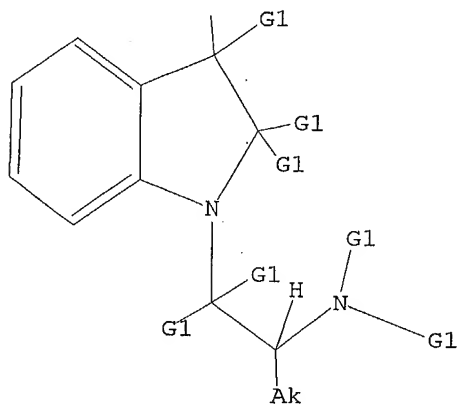
Uploading 10009567.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 11:08:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 8179 TO ITERATE

12.2% PROCESSED 1000 ITERATIONS 3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 158162 TO 168998
PROJECTED ANSWERS: 193 TO 787

L2 3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 11:08:45 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 162849 TO ITERATE

100.0% PROCESSED 162849 ITERATIONS 291 ANSWERS
SEARCH TIME: 00.00.10

L3 291 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS

	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	148.55	148.76

FILE 'CAPLUS' ENTERED AT 11:08:58 ON 24 SEP 2003
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FILE COVERS 1907 - 24 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 23 Sep 2003 (20030923/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

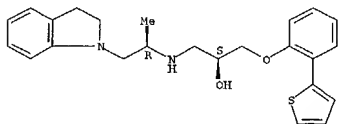
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L4 17 L3

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L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 500707-66-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (prepn. of indolyethylaminopropanediol aryl ethers as .beta.3
 adrenergic agonists)
 RN 500705-03-3 CAPLUS
 CN 2-Propanol, 1-[[[(1R)-2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-3-
 [2-(2-thienyl)phenoxy]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

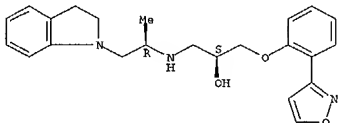
Absolute stereochemistry.



● HCl

RN 500705-05-5 CAPLUS
 CN 2-Propanol, 1-[[[(1R)-2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-3-
 [2-(3-isoxazolyl)phenoxy]-, monohydrochloride, (2S)- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.

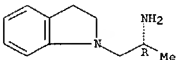


● HCl

RN 500706-03-6 CAPLUS
 CN 2-Propanol, 1-[[[(1S)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-
 methylethyl]amino]-3-[2-(2-thienyl)phenoxy]-, monohydrochloride, (2S)-
 (9CI) (CA INDEX NAME)

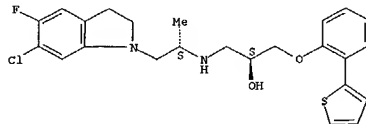
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 adrenergic agonists)
 RN 500138-77-2 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

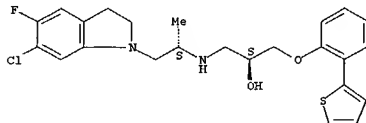
L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 Absolute stereochemistry.



● HCl

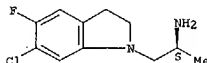
RN 500707-66-4 CAPLUS
 CN 2-Propanol, 1-[[[(1S)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-
 methylethyl]amino]-3-[2-(2-thienyl)phenoxy]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 259858-07-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of indolyethylaminopropanediol aryl ethers as .beta.3
 adrenergic agonists)
 RN 259858-07-6 CAPLUS
 CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-,
 (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

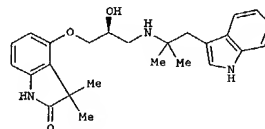
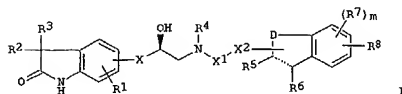


IT 500138-77-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of indolyethylaminopropanediol aryl ethers as .beta.3

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:154400 CAPLUS
 DOCUMENT NUMBER: 138:204942
 TITLE: Preparation and use of 3-substituted oxindole as
 .beta.3 agonists
 INVENTOR(S): Bastian, Jolie Anne; Finley, Don Richard; He, John
 Xiaoliang; Jesudason, Cynthia Darshini; Rutz, Andrew
 Michael; Rocco, Vincent Patrick; Rushter, Gerd; Sall,
 Daniel Jon; Schotten, Theo; Spinazze, Patrick
 Gianpietro; Stevens, Freddie Craig; Trankle, William
 George; Werner, John Arnold
 PATENT ASSIGNEE(S): Eli Lilly and Company, USA
 SOURCE: ECT Int. Appl., 84 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016276	A2	20030227	WO 2002-US21316	20020806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, FR, GB, GD, GE, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, ZA, ZM, ZW, AM, AZ, BY, KG, KZ RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-312135P P 20010814
 OTHER SOURCE(S): MARPAT 138:204942
 51



L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)

AB Title compds. I [dashed line = single or double bond; m = 0-2; D = amino, O, S; R1 = H, CN, halo, alkyl, haloalkyl, etc.; R2 = H, alkyl, benzyl; R3 = alkyl, benzyl or R2-3 combine with the C to which each is attached to form a carbocyclic ring; R4 = H, alkyl; R5 = H, CN, alkyl, etc.; R6 = H, alkyl, etc.; R7 = halo, OH, CN, alkyl, etc.; R8 = H, carboxy, carboxamido, etc.; X = OCH₂, SCH₂, bond; X1 = alkyl, bond; X2 = bond, CO, carboxamido, etc.] are prepd. For instance, 4-hydroxy-3,3-dimethyl-1,3-dihydroindol-2-one (prepn. given) was reacted with (2S)-(4)-glycidyl 3-nitrobenzenesulfonate to give the corresponding epoxide which when treated with the corresponding indolyl-amine gives II. I are .beta.3 adrenergic receptor agonists. I are capable of increasing lipolysis and energy expenditure in cells and is useful for treating Type 2 diabetes and/or obesity.

IT 500139-89-9P

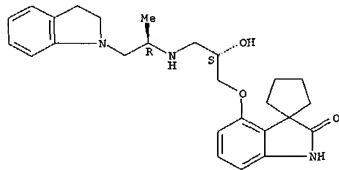
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of 3-substituted oxoindole as .beta.3 agonists for the treatment of diabetes/obesity)

RN 500139-89-9 CAPLUS

CN Spiro[cyclopentane-1,3'-(3H)indol]-2' (1'H)-one, 4'-[(2S)-3-[[[(1R)-2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-2-hydroxypropoxy]-, monohydrochloride (SCI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 500138-77-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and use of 3-substituted oxoindole as .beta.3 agonists for the treatment of diabetes/obesity)

RN 500138-77-2 CAPLUS

CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)- (SCI) (CA INDEX NAME)

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN

ACCESSION NUMBER: 2002:42881 CAPLUS

DOCUMENT NUMBER: 137:6087

TITLE: Preparation of indoline derivatives as 5-HT₂ receptor

INVENTOR(S): Bentley, Jonathan Mark; Davidson, James Edward Paul;

Mansell, Howard Langham; Monck, Nathaniel Julius

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.; Vernalis Research

SOURCE: PCT Int. Appl., 55 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

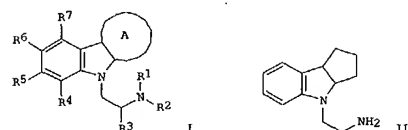
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044152	A1	20020606	WO 2001-EP11814	20011012
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MY, NZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002021670	A5	20020611	AU 2002-21670	20011012
BR 2001014708	A	20030701	BR 2001-14708	20011012
EP 1328515	A1	20030723	EP 2001-998144	20011012
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6479534	B1	20021112	US 2001-977783	20011015
US 2002183349	A1	20021205	EP 2000-122539	A 20001016
PRIORITY APPL. INFO.: WO 2001-EP11814			W 20011012	

OTHER SOURCE(S): MARPAT 137:6087

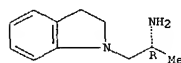
GI



AB Title compds. I [R1-2 = H, alkyl, alkenyl, alkynyl, cycloalkyl; R3 = alkyl, alkenyl, alkynyl, cycloalkyl; R4-7 = H, alkyl, alkenyl, alkynyl, cycloalkyl, halogen, haloalkyl, hydroxy, aryl, amino, mono- and dialkylamino, alkoxy, cycloalkyloxy, aryloxy, heteroaryloxy, alkylthio,

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)

Absolute stereochemistry.



L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)

alkylsulfonyl, alkylsulfonyl, nitro, cyano, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, heteroaryl, alkylcarbonylamino, arylcarbonylamino, heteroarylcabonylamino, carboxy; A = a 5 or 6 membered (un)satd. carbocyclic, heterocyclic ring, wherein the two atoms of the indoline ring to which ring A is fused form a satd. C-C single bond) were prepd. For instance, 2-[1,2,3,4-tetrahydrocyclopent[b]indol-4-yl]ethylamine.bul.HCl prepn. given was protected as the tert-butoxycarbonyl deriv., reduced (HOAc, NaCNBH₃) and deprotected (MeOH, HCl) to give II isolated as the fumarate salt. In one assay, selected example compds. tested had. K_i = 88 - 318 nM for the 5-HT_{2A} receptor. I are useful for the prevention and treatment of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes, sleep apnea, and for the treatment and prevention of obesity.

IT 433333-03-0P 433333-04-1P 433333-06-3P

433333-08-5P 433333-10-9P 433333-12-1P

433333-14-2P 433333-17-6P 433333-20-1P

433333-22-3P 433333-25-6P 433333-29-0P

433333-33-6P 433333-35-8P 433333-37-0P

433333-40-5P 433333-43-8P 433333-46-1P

433333-48-3P 433333-49-4P 433333-52-9P

433333-55-2P 433333-57-4P 433333-59-6P

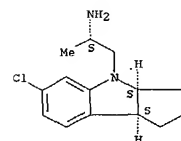
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; prepn. of indoline derivs. as 5-HT₂ receptor ligands)

RN 433333-03-0 CAPLUS

CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, monohydrochloride, (.alpha.S,3aS,8bS)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.



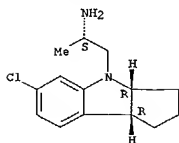
● HCl

RN 433333-04-1 CAPLUS

CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, monohydrochloride, (.alpha.S,3aS,8bS)- (SCI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



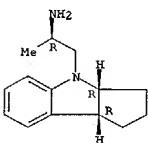
● HCl

RN 433333-06-3 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R,3aR,8bR)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-05-2
 CMF C14 H20 N2

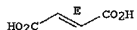
Absolute stereochemistry.



CM 2

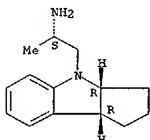
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 433333-08-5 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aS,8bS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

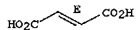
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

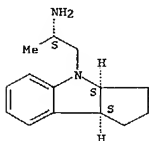


RN 433333-12-1 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aS,8bS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-11-0
 CMF C14 H20 N2

Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

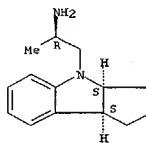
Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 (.alpha.R,3aS,8bS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-07-4
 CMF C14 H20 N2

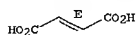
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



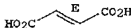
RN 433333-10-9 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aS,8bR)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-09-6
 CMF C14 H20 N2

Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

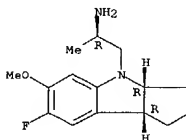


RN 433333-14-3 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.R,3aR,8bR)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 433333-13-2
 CMF C15 H21 F N2 O

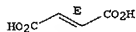
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



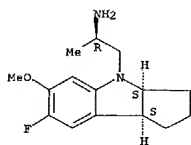
RN 433333-17-6 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.R,3aS,8bS)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 433333-16-5
 CMF C15 H21 F N2 O

Absolute stereochemistry.

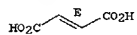
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

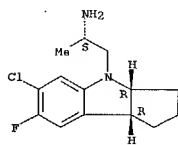


RN 433333-20-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aR,8bR)-, (2E)-2-butenedioate (9CI)
(CA INDEX NAME)

CM 1

CRN 433333-19-8
CMF C14 H18 Cl F N2

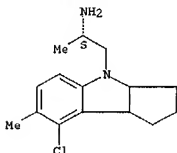
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

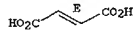
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

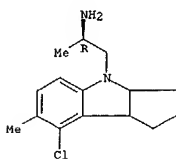


RN 433333-29-0 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3,3a,8b-tetrahydro-.alpha.,7-dimethyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-28-9
CMF C15 H21 Cl N2

Absolute stereochemistry.



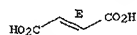
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Double bond geometry as shown.

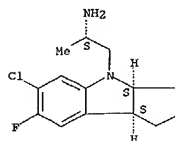


RN 433333-22-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S,3aS,8bS)-, (2E)-2-butenedioate (9CI)
(CA INDEX NAME)

CM 1

CRN 433333-21-2
CMF C14 H18 Cl F N2

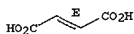
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



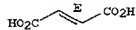
RN 433333-25-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-2,3,3a,8b-tetrahydro-.alpha.,7-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 433333-24-5
CMF C15 H21 Cl N2

Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

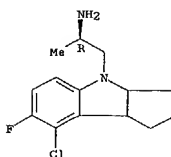


RN 433333-33-6 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 433333-32-5
CMF C14 H18 Cl F N2

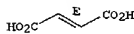
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



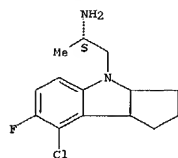
RN 433333-35-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 8-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 433333-34-7
CMF C14 H18 Cl F N2

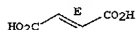
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2
CRN 110-17-8
CMF C4 H4 O4

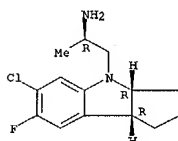
Double bond geometry as shown.



RN 433333-37-0 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R,3aR,8bR)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

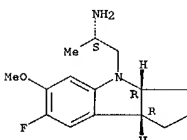
CM 1
CRN 433333-36-9
CMF C14 H18 Cl F N2

Absolute stereochemistry.



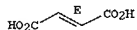
CM 2
CRN 110-17-8

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2
CRN 110-17-8
CMF C4 H4 O4

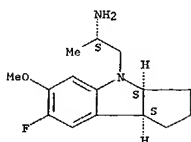
Double bond geometry as shown.



RN 433333-46-1 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.S,3aS,8bS)-, (2E)-2-butenedioate (10:7) (9CI) (CA INDEX NAME)

CM 1
CRN 433333-45-0
CMF C15 H21 F N2 O

Absolute stereochemistry.



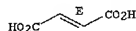
CM 2
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CMF C4 H4 O4

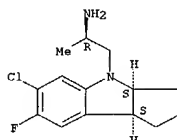
Double bond geometry as shown.



RN 433333-40-5 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-7-fluoro-2,3,3a,8b-tetrahydro-.alpha.-methyl-, (.alpha.R,3aS,8bS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

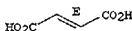
CM 1
CRN 433333-39-2
CMF C14 H18 Cl F N2

Absolute stereochemistry.



CM 2
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

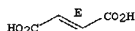


RN 433333-43-8 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-methoxy-.alpha.-methyl-, (.alpha.S,3aR,8bR)-, (2E)-2-butenedioate (10:7) (9CI) (CA INDEX NAME)

CM 1
CRN 433333-42-7
CMF C15 H21 F N2 O

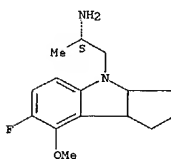
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-48-3 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-8-methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

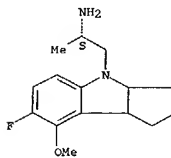
Absolute stereochemistry.



RN 433333-49-4 CAPLUS
CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-8-methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

CM 1
CRN 433333-48-3
CMF C15 H21 F N2 O

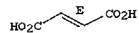
Absolute stereochemistry.



CM 2
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

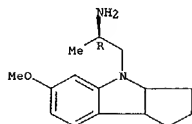


RN 433333-52-9 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-6-methoxy-
 .alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX
 NAME)

CM 1

CRN 433333-51-8
 CMF C15 H22 N2 O

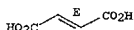
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



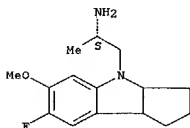
RN 433333-55-2 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 2,3,3a,8b-tetrahydro-6-methoxy-
 .alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX
 NAME)

CM 1

CRN 433333-54-1
 CMF C15 H22 N2 O

Absolute stereochemistry.

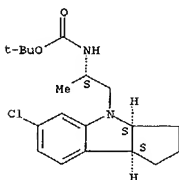
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 433333-71-2P 433333-72-3P 433333-73-4P
 433333-74-5P 433333-75-6P 433333-76-7P
 433333-77-8P 433333-78-9P 433333-79-0P
 433333-80-3P 433333-81-4P 433333-83-6P
 433333-84-7P 433333-85-8P 433333-86-9P
 433333-87-0P 433333-88-1P 433333-89-2P
 433333-90-5P 433333-91-6P 433333-93-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; prepn. of indoline derivs. as 5-HT2 receptor ligands)

RN 433333-71-2 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3aS,8bS)-6-chloro-2,3,3a,8b-
 tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl
 ester (9CI) (CA INDEX NAME)

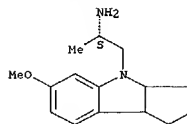
Absolute stereochemistry.



RN 433333-72-3 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3aR,8bR)-6-chloro-2,3,3a,8b-
 tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl
 ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

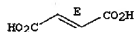
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

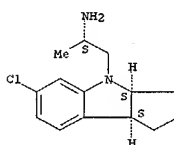
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 433333-57-4 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 6-chloro-2,3,3a,8b-tetrahydro-.alpha.-
 methyl-, (.alpha.S,3aS,8bS)- (9CI) (CA INDEX NAME)

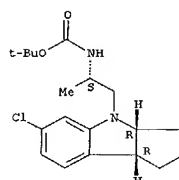
Absolute stereochemistry.



RN 433333-59-6 CAPLUS
 CN Cyclopent[b]indole-4(1H)-ethanamine, 7-fluoro-2,3,3a,8b-tetrahydro-6-
 methoxy-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

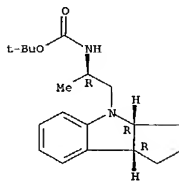
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-73-4 CAPLUS
 CN Carbamic acid, [(1R)-1-methyl-2-[(3aR,8bR)-2,3,3a,8b-
 tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester
 (9CI) (CA INDEX NAME)

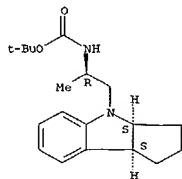
Absolute stereochemistry.



RN 433333-74-5 CAPLUS
 CN Carbamic acid, [(1R)-1-methyl-2-[(3aS,8bS)-2,3,3a,8b-
 tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester
 (9CI) (CA INDEX NAME)

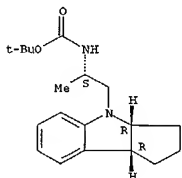
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-75-6 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(3aR,8bR)-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

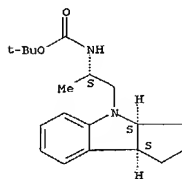
Absolute stereochemistry.



RN 433333-76-7 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-[(3aS,8bS)-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

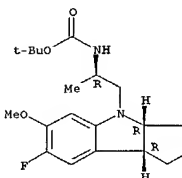
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-77-8 CAPLUS
 CN Carbamic acid, [(1R)-2-[(3aR,8bR)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

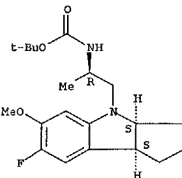
Absolute stereochemistry.



RN 433333-78-9 CAPLUS
 CN Carbamic acid, [(1R)-2-[(3aS,8bS)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

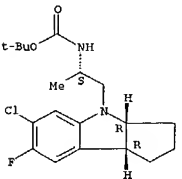
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-79-0 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3aR,8bR)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

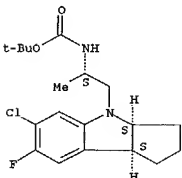
Absolute stereochemistry.



RN 433333-80-3 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3aS,8bS)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

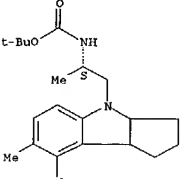
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-81-4 CAPLUS
 CN Carbamic acid, [(1S)-2-(8-chloro-2,3,3a,8b-tetrahydro-7-methylcyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

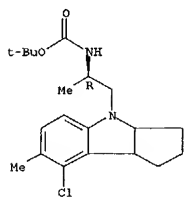
Absolute stereochemistry.



RN 433333-83-6 CAPLUS
 CN Carbamic acid, [(1R)-2-(8-chloro-2,3,3a,8b-tetrahydro-7-methylcyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

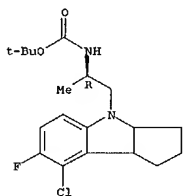
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-84-7 CAPLUS
 CN Carbamic acid, [(1R)-2-(8-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

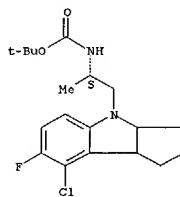
Absolute stereochemistry.



RN 433333-85-8 CAPLUS
 CN Carbamic acid, [(1S)-2-(8-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

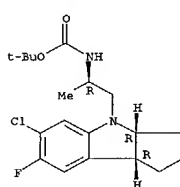
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-86-9 CAPLUS
 CN Carbamic acid, [(1R)-2-[(3aR,8bS)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

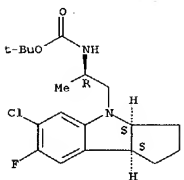
Absolute stereochemistry.



RN 433333-87-0 CAPLUS
 CN Carbamic acid, [(1R)-2-[(3aS,8bS)-6-chloro-7-fluoro-2,3,3a,8b-tetrahydrocyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

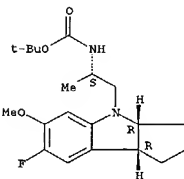
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 433333-88-1 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3aR,8bR)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

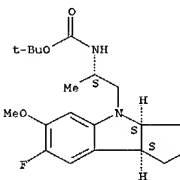
Absolute stereochemistry.



RN 433333-89-2 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3aS,8bS)-7-fluoro-2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

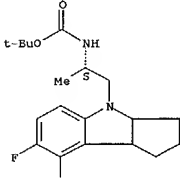
Absolute stereochemistry.

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



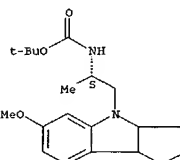
RN 433333-90-5 CAPLUS
 CN Carbamic acid, [(1S)-2-(7-fluoro-2,3,3a,8b-tetrahydro-8-methoxycyclopent[b]indol-4(1H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 433333-91-6 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-(2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

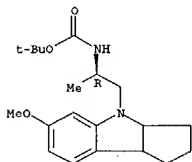
Absolute stereochemistry.



L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)

AM 433333-93-8 CAPLUS
 CN Carbamic acid, [(1R)-1-methyl-2-(2,3,3a,8b-tetrahydro-6-methoxycyclopent[b]indol-4(1H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

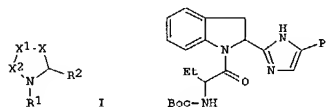


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN

ACCESSION NUMBER: 2002:353276 CAPLUS
 DOCUMENT NUMBER: 136:369991
 TITLE: Preparation of N-acyl heterocyclic compounds as tripeptidyl peptidase inhibitors
 INVENTOR(S): Breslin, Henry Joseph; De Winter, Hans Louis Jos; Kukla, Michael Joseph
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 50 pp, CODEN: PIXXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036116	A2	20020510	WO 2001-EP12388	20011024
WO 2002036116	A3	20020926		
WO 2002036116	C2	20030530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BE, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AH, AZ, BY, BG, KZ, MD, RU, TJ, TH, RW: GM, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GE, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002024797	A5	20020515	AU 2002-24797	20011024
EE 200300168	A	20030616	EE 2003-168	20011024
NO 2003001930	A	20030429	NO 2003-1930	20030429
PRIORITY APPLN. INFO:			US 2000-244223P	P 20001030
			WO 2001-EP12388	W 20011024
OTHER SOURCE(S):			MARPAT 136:369991	
GI				



AB The invention relates to novel compds. I [X = O, S, CH2, CH2CH2, alkylmethylene or alkylethylene; X1 = (un)substituted ethylene, o-phenylene, o-phenylenemethylene or 1,2-cyclohexanedimethyl; X2 = null or CH2; R1 = C1-6alkylcarbonyl optionally substituted by hydroxy, C1-6alkylcarbonyl, aminoC1-6alkylcarbonyl where the C1-6alkyl group is optionally substituted by C3-6cycloalkyl, mono- or bis(C1-6alkyl)aminoC1-

L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN (Continued)

6alkylcarbonyl, arylaminocarbonyl, C1-6alkylcarbonyloxyC1-6alkylcarbonyl, C1-6alkylcarbonylaminoC1-6alkylcarbonyl, where the amino group is optionally substituted by C1-6alkyl, an amino acid residue, aminoC1-6alkyl or arylcarbonyl; R2 = (un)substituted pyrrolyl, imidazolyl, 1,2,4-triazolyl, oxazolyl, thiazolyl, 1,2,4-oxadiazolyl or benzimidazolyl which are inhibitors of a membrane tripeptidyl peptidase responsible for the inactivation of endogenous neuropeptides such as cholecystokinins (CCKs). Thus, compd. (S,S)-II (Boc = tert-butoxycarbonyl) was prepd. by acylation of (S)-2,3-dihydro-2-(4-propyl-1H-imidazol-2-yl)-1H-indole with (S)-Boc-NHCH2COF (syntheses given).

IT 422573-70-48
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-acyl heterocyclic compds. as tripeptidyl peptidase inhibitors)

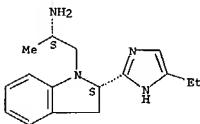
RN 422573-70-4 CAPLUS
 CN 1H-indole-1-ethanamine, 2-(4-ethyl-1H-imidazol-2-yl)-2,3-dihydro- α -methyl-, (.alpha.S,2S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 422573-69-1

CMF C16 H22 N4

Absolute stereochemistry.



CM 2

CRN 76-05-1

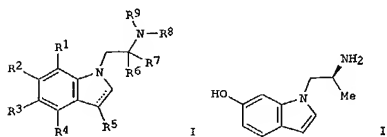
CMF C2 H F3 O2



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS ON STN

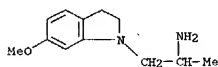
ACCESSION NUMBER: 2001:416899 CAPLUS
 DOCUMENT NUMBER: 135:33426
 TITLE: 1-Aminoalkyl-1H-indoles for treating glaucoma
 INVENTOR(S): Chen, Hwang-Hsing; Hay, Jesse A.; Dantanarayana, Anura P.
 PATENT ASSIGNEE(S): Alcon Universal Ltd., Switz.
 SOURCE: PCT Int. Appl., 36 pp, CODEN: PIXXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040183	A1	20010607	WO 2000-US31248	20001114
W: AU, BR, CA, CN, JP, KR, MX, PL, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
PRIORITY APPLN. INFO:			US 1999-168832P	P 19991203
			US 2000-190207P	P 20000317
OTHER SOURCE(S):			MARPAT 135:33426	
GI				



AB 1-Aminoalkyl-1H-indoles I, which are 5-HT2 receptor agonists, and are useful for treating ocular hypertension and glaucoma, are disclosed [wherein R1 and R2 = H, halo, alkyl, CF3, -OW, alkylthio, alkylsulfonyl, alkylsulfonyl, or cyano; R3, R4 = H, halo, alkyl, CF3, or cyano; R5 = H, halo, alkyl, or alkoxy; R6, R7 = H or alkyl; or R6R7 = CH2CH2; or R7R8 = (CH2)m; R8, R9 = H or alkyl; R1-R4 cannot simultaneously be H; R6 and R7 cannot both be H; V = H, alkyl, C(=O)X, or F(=O)(OY)(OZ); X = alkyl, NR8R9, N(R8)CH2(CH2)nC(=O)NR8R9, alkoxy, alkyl [which can be substituted with halo, OH, CO2-alkyl, CON(alkyl)2, C(=NH)NH2, NHC(=NH)NH2, NH2], alkenyl [substituted by Ph, which is (un)substituted with 1 or more of alkyl, alkoxy or halo]; Y, Z = H, alkyl; or YZ = (CH2)m; m = 2-4; n = 1 or 2; dashed bond = double bond; and pharmaceutically acceptable salts and solvates]. Also claimed are several specific compds. I, as well as methods for using I [slightly broader definition, including indolines where dashed bond = single bond] for controlling normal and elevated intraocular pressure and treating glaucoma. Over 40 example compds. and their salts/free bases were prepd. and/or claimed. For instance, 6-benzylindole was N-alkylated by (R)-(+)-propylene oxide, and the resulting alc. was converted to the mesylate and then the corresponding azide. Hydrogenation of the azide gave the most preferred title compd., namely 1-((S)-2-aminopropyl)-1H-indol-6-ol (II). Compds. I showed high affinities for 5-HT2 receptors, inhibiting the binding of [125I]-DOI with

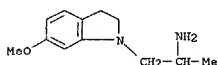
L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 typical IC50 values of < 2.5 nM. Most of the test compds. also showed full agonist activity in a phosphoinositide turnover assay, and generally gave approx. 15-30% redn. in intracellular pressure in hypertensive eyes of cynomolgus monkeys at a dose of 300 µm.g (topical, one eye).
 IT 259859-09-1P 343578-76-7P 343578-80-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of aminoalkylindoles as 5-HT2 agonists for treatment of glaucoma)
 RN 259859-09-1 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 343578-76-7 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

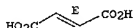
CRN 259859-09-1
 CMF C12 H18 N2 O



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



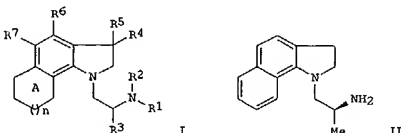
RN 343578-80-3 CAPLUS
 CN 1H-Indole-1-ethanamine, 4-chloro-2,3-dihydro-.alpha.-methyl-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:137191 CAPLUS
 DOCUMENT NUMBER: 134:193338
 TITLE: Preparation and use of condensed indoline derivatives and their use as 5-HT₂ in particular 5-HT_{2C} receptor ligands
 INVENTOR(S): Roffey, Jonathan Richard Anthony; Davidson, James Edward Paul; Mansell, Howard Langham; Hamlyn, Richard John; Adams, David Reginald
 PATENT ASSIGNEE(S): Vernalis Research Limited, UK
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

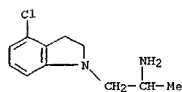
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012602	A1	20010222	WO 2000-GB3008	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KR, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, CA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013314	A	20020402	BR 2000-13314	20000804
EP 1202964	A1	20020508	EP 2000-951696	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507366	T2	20030225	JP 2001-517500	20000804
ZA 2001010218	A	20021212	ZA 2001-10218	20011212
PRIORITY APPLN. INFO.:			GB 1995-19965	A 19990811
			WO 2000-GB3008	W 20000804

OTHER SOURCE(S): MARPAT 134:193338
 GI



AB Novel compds. I and use thereof are claimed [wherein: R1, R2 are H, alkyl; R3 is alkyl; R4, R5 are H, alkyl; R6, R7 are H, halo, OH, alkyl, aryl, NH2, alkylamino, dialkylamino, alkoxy, arylalkoxy, alkylthio, alkylsulfonyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl; A is a 5- or 6-membered (unsatd. (hetero)cyclic (n is 1 or 2)].

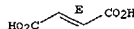
L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CRN 343578-79-0
 CMF C11 H15 Cl N2



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

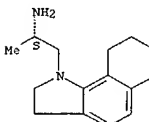


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 Eleven examples are given. The synthesis of II proceeded by alkylation of benz[g]indole with the corresponding N-tert-butoxycarbonyl-protected sidechain. The resulting indole was converted to the indoline with sodium cyanoborohydride in acetic acid. Deprotection with trifluoroacetic acid furnished II as an oil and isolation of a solid as its hemi-fumarate deriv. Compds. I showed affinity for 5-HT_{2A}, 5-HT_{2B} and 5-HT_{2C} receptors in a CHO cell line. Compd. II had a Ki of 107 nM in a radiolabeled [3H]-5-HT assay. Treatment of disorders of the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea, and particularly the treatment of obesity are claimed uses of compds. I.
 IT 327182-96-7P 327182-97-8P 327182-99-0P
 327183-00-6P 327183-03-9P 327183-07-3P
 327183-08-4P 327183-09-5P 327183-10-8P
 327183-11-9P 327183-12-0P 327183-13-1P
 327183-15-3P 327183-16-4P 327183-17-5P
 327183-18-6P 327183-03-5P 327183-04-6P
 327185-05-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)
 RN 327182-96-7 CAPLUS
 CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



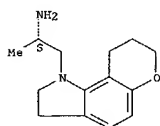
RN 327182-97-8 CAPLUS
 CN Pyrano[2,3-g]indole-1(7H)-ethanamine, 2,3,8,9-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327182-96-7
 CMF C14 H20 N2 O

Absolute stereochemistry.

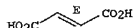
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



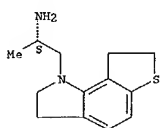
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

RN 327182-99-0 CAPLUS
CN 1H-Thieno[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

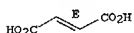


CM 1

CRN 327182-99-0
CMF C13 H18 N2 S

Absolute stereochemistry.

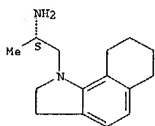
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 327183-07-3 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3,6,7,8,9-hexahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-06-2
CMF C15 H22 N2

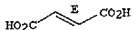
Absolute stereochemistry.



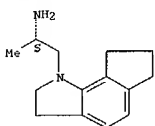
CM 2

CRN 110-17-8
CMF C4 H4 O4

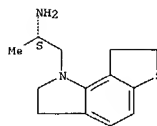
Double bond geometry as shown.

RN 327183-08-4 CAPLUS
CN Cyclopent[glindole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 327183-09-5 CAPLUS
CN Cyclopent[glindole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

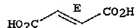
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

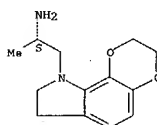
Double bond geometry as shown.

RN 327183-03-9 CAPLUS
CN 9H-1,4-Dioxino[2,3-g]indole-9-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-02-8
CMF C13 H18 N2 O2

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

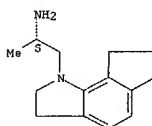
Double bond geometry as shown.

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 1

CRN 327183-08-4
CMF C14 H20 N2

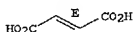
Absolute stereochemistry.



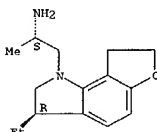
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

RN 327183-10-8 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

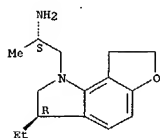


CM 1

CRN 327183-10-8
CMF C15 H22 N2 O

Absolute stereochemistry.

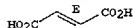
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



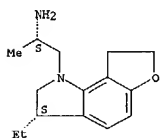
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

RN 327183-12-0 CAPLUS
CN 1H-Puro[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

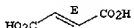


CM 1

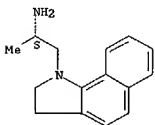
CRN 327183-12-0
CMF C15 H22 N2 O

Absolute stereochemistry.

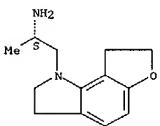
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 327183-16-4 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 327183-17-5 CAPLUS
CN 1H-Puro[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

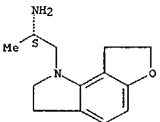
Absolute stereochemistry.



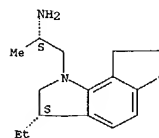
● 2 HCl

RN 327183-18-6 CAPLUS
CN 1H-Puro[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



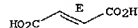
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

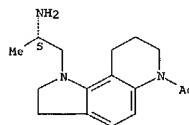
Double bond geometry as shown.

RN 327183-15-3 CAPLUS
CN 1H-Pyrrolo[2,3-f]quinoline-1-ethanamine, 6-acetyl-2,3,6,7,8,9-hexahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-14-2
CMF C16 H23 N3 O

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

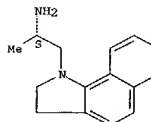
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 327185-03-5 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-16-4
CMF C15 H18 N2

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

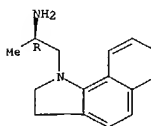
Double bond geometry as shown.

RN 327185-04-6 CAPLUS
CN 1H-Benz[g]indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-22-2
CMF C15 H18 N2

Absolute stereochemistry.

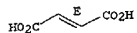


CM 2

CRN 110-17-8

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMP C4 H4 O4

Double bond geometry as shown.

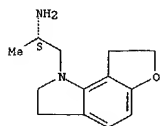


RN 327185-05-7 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.s)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-18-6
CMP C13 H18 N2 O

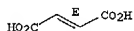
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMP C4 H4 O4

Double bond geometry as shown.

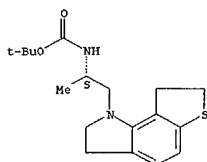


IT 327183-20-0P 327183-28-8P 327183-40-4P
327183-52-8P 327183-58-4P 327183-60-8P
327183-63-1P 327183-67-5P 327183-68-6P
327183-72-2P 327185-07-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)
RN 327183-20-0 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

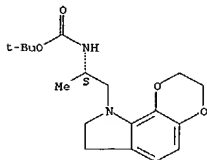
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



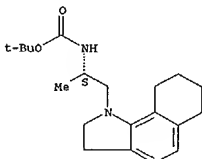
RN 327183-58-4 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-9H-1,4-dioxino[2,3-g]indol-9-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



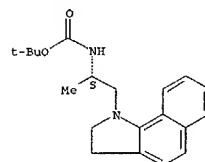
RN 327183-60-8 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3,6,7,8,9-hexahydro-1H-benz[g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



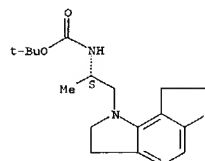
RN 327183-63-1 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(3,6,7,8-tetrahydrocyclopent[g]indol-1(2H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



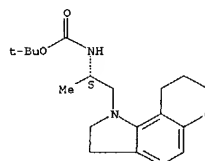
RN 327183-28-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-40-4 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,8,9-tetrahydropyrano[2,3-g]indol-1(7H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

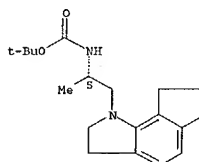
Absolute stereochemistry.



RN 327183-52-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-thieno[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

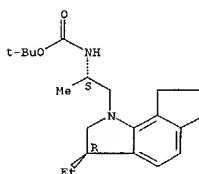
L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-67-5 CAPLUS
CN Carbamic acid, [(1S)-2-[(3R)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

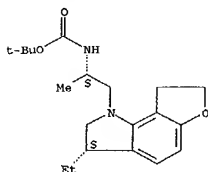
Absolute stereochemistry.



RN 327183-68-6 CAPLUS
CN Carbamic acid, [(1S)-2-[(3S)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

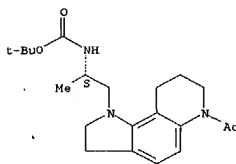
Absolute stereochemistry.

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



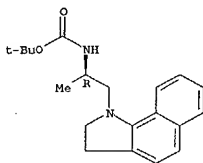
RN 327183-72-2 CAPLUS
 CN Carbamic acid, [(1S)-2-(6-acetyl-2,3,6,7,8,9-hexahydro-1H-pyrrolo[2,3-f]quinolin-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327185-07-9 CAPLUS
 CN Carbamic acid, [(1R)-2-(2,3-dihydro-1H-benz[gl]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.

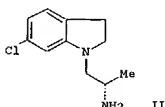
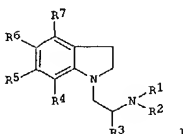


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:161255 CAPLUS
 DOCUMENT NUMBER: 132:194289
 TITLE: Preparation of indolinealkylamine derivatives as 5-HT2B and/or 5-HT2C receptor ligands
 INVENTOR(S): Adams, David Reginald; Bentley, Jonathan Mark; Roffey, Jonathan Richard Anthony; Hamlyn, Richard John; Gaur, Sunael; Duncion, Matthew Alexander James; Babington, David; Monck, Nathaniel Julius; Dawson, Claire Elizabeth; Pratt, Robert Mark; George, Ashley Roger
 PATENT ASSIGNEE(S): Carabrus Pharmaceuticals Limited, UK; et al.
 SOURCE: PCT Int. Appl., 81 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012475	A1	20000309	WO 1999-GB2879	19990901
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, MY, NZ, OL, OM, OS, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341525	A1	20000309	CA 1999-2341525	19990901
AU 9956371	A1	20000321	AU 1999-56371	19990901
EP 1109784	A1	20010627	EP 1999-943086	19990901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6380238	B1	20020430	US 2001-786154	20010301
PRIORITY APPL. INFO.: GB 1998-19033 A 19980901				
WO 1999-GB2879 W 19990901				
OTHER SOURCE(S): MARPAT 132:194289				

OTHER SOURCE(S):
 GI



AB The title compds. (I) [wherein R1-R3 = independently H or alkyl; R4-R7 = independently H, halogen, hydroxy, alkyl(thio), aryl(thio), alkoxy, aryl(oxo), heterocyclyl, alkylsulfonyl, alkylsulfonyl, arylsulfonyl, arylsulfonyl, amino, (di)alkylamino, NO2, CN, CHO, alkylcarbonyl,

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

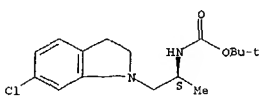
arylcarbonyl, aminocarbonyl, (di)alkylaminocarbonyl, alkoxycarbonylamino, aminocarbonyloxy, (di)alkylaminocarbonyloxy, or (di)alkylaminocarbonylamino, and at least one of R4-R7 .noteq. H] and their pharmaceutically acceptable salts were prepd. for the treatment of obesity. For example, II fumarate was formed in a synthetic sequence involving the addn. of (S)-2-(tert-butoxycarbonylamino)propane methanesulfonate to 6-chloroindole, redn. of the indole to the corresponding indoline using NaBH3CN, and deprotection of the amine with CF3CO2H, followed by salt formation with fumaric acid. II fumarate bound to the serotonin receptors 5-HT2C (KI = 55 nM) and 5-HT2B (KI = 138 nM) more strongly than to the 5-HT2A (KI = 252 nM) receptor. In a functional activity assay using Chinese hamster ovary (CHO) cells, II fumarate demonstrated higher relative efficacy in reducing response of the 5-HT2C receptor (62%) compared to the 5-HT2A receptor (49%). I are also useful in the treatment of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes insipidus, and sleep apnea (no data).

IT 259859-41-1P 259859-73-8P 259859-73-9P
 259859-74-0P 259859-75-1P 259859-76-2P
 259859-77-3P 259859-78-4P 259859-79-5P
 259859-80-6P 259859-81-9P 259859-82-0P
 259859-83-1P 259859-84-2P 259859-85-3P
 259859-86-4P 259859-87-5P 259859-88-6P
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 259859-95-5P 259859-96-6P 259859-97-7P
 259859-98-8P 259859-99-9P 259860-16-7P
 259860-17-8P 259860-18-9P 259860-19-0P
 259860-20-3P 259860-21-4P 259860-22-5P
 259860-38-3P 259860-39-4P 259860-40-7P
 259860-41-8P 259860-42-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prepn. of indolinealkylamine 5-HT2B and/or 5-HT2C receptor ligands by addn. of indoles to neoglycolalkylamines or epoxides, followed by azidation, or by reaction of indolinealkylamines with arylboronic acids)

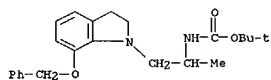
RN 259859-41-1 CAPLUS
 CN Carbamic acid, [(1S)-2-(6-chloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

Absolute stereochemistry.



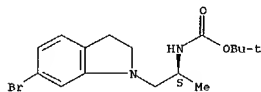
RN 259859-72-8 CAPLUS
 CN Carbamic acid, [2-[2,3-dihydro-7-(phenylmethoxy)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (SCI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



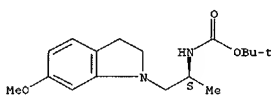
RN 259859-73-9 CAPLUS
CN Carbamic acid, [(1S)-2-(6-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



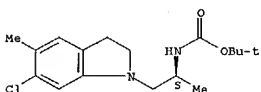
RN 259859-74-0 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-methoxy-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



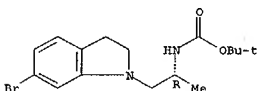
RN 259859-75-1 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-2,3-dihydro-5-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



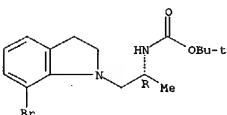
RN 259859-76-2 CAPLUS
CN Carbamic acid, [(1R)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



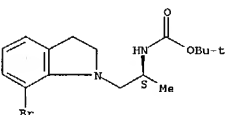
RN 259859-80-8 CAPLUS
CN Carbamic acid, [(1R)-2-(7-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



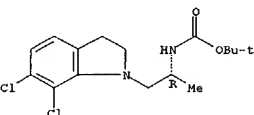
RN 259859-81-9 CAPLUS
CN Carbamic acid, [(1S)-2-(7-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



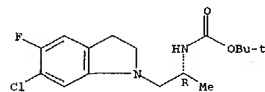
RN 259859-82-0 CAPLUS
CN Carbamic acid, [(1R)-2-(6,7-dichloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



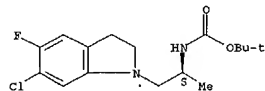
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Absolute stereochemistry.



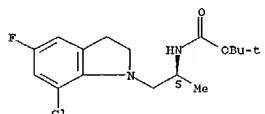
RN 259859-77-3 CAPLUS
CN Carbamic acid, [(1S)-2-(6-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-78-4 CAPLUS
CN Carbamic acid, [(1S)-2-(7-chloro-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



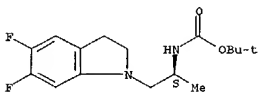
RN 259859-79-5 CAPLUS
CN Carbamic acid, [(1R)-2-(6-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

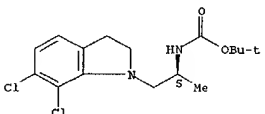
RN 259859-83-1 CAPLUS
CN Carbamic acid, [(1S)-2-(5,6-difluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



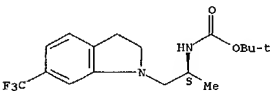
RN 259859-84-2 CAPLUS
CN Carbamic acid, [(1S)-2-(6,7-dichloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-85-3 CAPLUS
CN Carbamic acid, [(1S)-2-[2,3-dihydro-6-(trifluoromethyl)-1H-indol-1-yl]-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

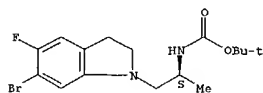
Absolute stereochemistry.



RN 259859-86-4 CAPLUS
CN Carbamic acid, [(1S)-2-(6-bromo-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

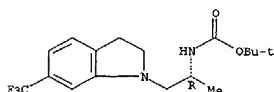
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



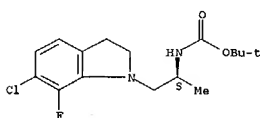
RN 259859-87-5 CAPLUS
CN Carbamic acid, [(1R)-2-(2,3-dihydro-6-(trifluoromethyl)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



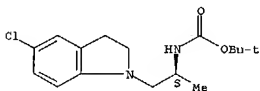
RN 259859-88-6 CAPLUS
CN Carbamic acid, [(1R)-2-(6-chloro-7-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

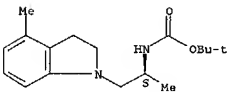


RN 259859-89-7 CAPLUS
CN Carbamic acid, [(1S)-2-(5-chloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

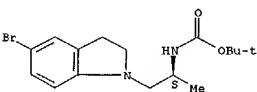


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



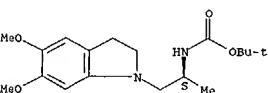
RN 259859-94-4 CAPLUS
CN Carbamic acid, [(1S)-2-(5-bromo-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



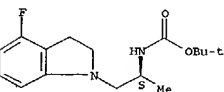
RN 259859-95-5 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-5,6-dimethoxy-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-96-6 CAPLUS
CN Carbamic acid, [(1S)-2-(4-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



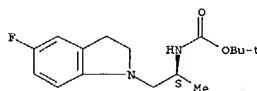
RN 259859-97-7 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-7-methoxy-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

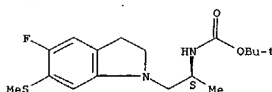
RN 259859-90-0 CAPLUS
CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



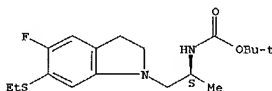
RN 259859-91-1 CAPLUS
CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-6-(methylthio)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259859-92-2 CAPLUS
CN Carbamic acid, [(1S)-2-(6-(ethylthio)-5-fluoro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

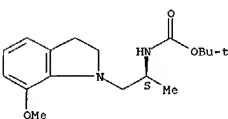


RN 259859-93-3 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-4-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

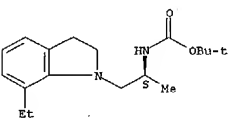


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



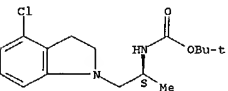
RN 259859-98-8 CAPLUS
CN Carbamic acid, [(1S)-2-(7-ethyl-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



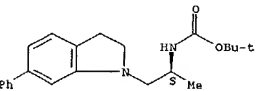
RN 259859-99-9 CAPLUS
CN Carbamic acid, [(1S)-2-(4-chloro-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-16-7 CAPLUS
CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-phenyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

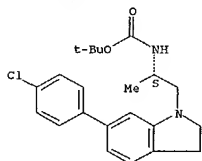
Absolute stereochemistry.



RN 259860-17-8 CAPLUS

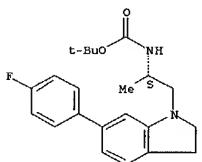
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN Carbamic acid, [(1S)-2-(6-(4-chlorophenyl)-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-18-9 CAPLUS
 CN Carbamic acid, [(1S)-2-(6-(4-fluorophenyl)-2,3-dihydro-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



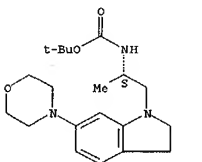
RN 259860-19-0 CAPLUS
 CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-(4-methoxyphenyl)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



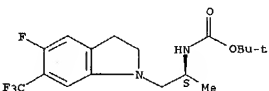
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-(4-morpholinyl)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



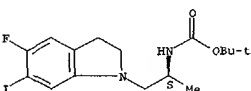
RN 259860-38-3 CAPLUS
 CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-6-(trifluoromethyl)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-39-4 CAPLUS
 CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-6-iodo-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

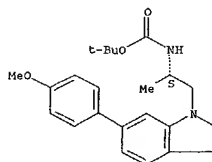
Absolute stereochemistry.



RN 259860-40-7 CAPLUS
 CN Carbamic acid, [(1S)-2-(5-fluoro-2,3-dihydro-6-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

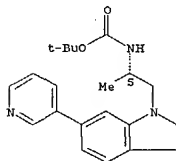
Absolute stereochemistry.

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



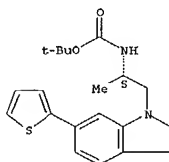
RN 259860-20-3 CAPLUS
 CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-(3-pyridinyl)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



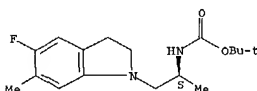
RN 259860-21-4 CAPLUS
 CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-(2-thienyl)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



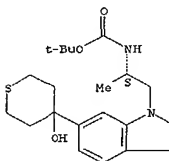
RN 259860-22-5 CAPLUS

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



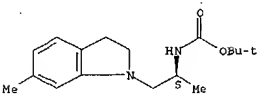
RN 259860-41-8 CAPLUS
 CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-(tetrahydro-4-hydroxy-2H-thiopyran-4-yl)-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259860-42-9 CAPLUS
 CN Carbamic acid, [(1S)-2-(2,3-dihydro-6-methyl-1H-indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

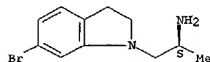
Absolute stereochemistry.



IT 259857-99-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (target compd.; prepn. of indolinealkylamine 5-HT2B and/or 5-HT2C receptor ligands by addn. of indoles to mesyloxalkylamines or epoxides, followed by azidation, or by reaction of indolinealkylamines with arylboronic acids)
 RN 259857-99-3 CAPLUS
 CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

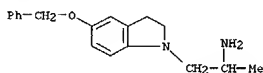
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



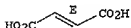
IT 259857-82-4P 259857-83-5P 259857-84-6P
 259857-86-8P 259857-87-9P 259857-88-0P
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 259857-94-8P 259857-95-9P 259857-96-0P
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 259858-07-6P 259858-09-8P 259858-11-2P
 259858-13-4P 259858-15-6P 259858-17-8P
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 259858-25-8P 259858-27-0P 259858-29-2P
 259858-30-5P 259858-31-6P 259858-32-7P
 259858-33-8P 259858-34-9P 259858-35-0P
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 259858-55-4P 259858-56-5P 259858-57-6P
 259858-58-7P 259858-59-8P 259858-61-2P
 259858-63-4P 259858-65-6P 259858-67-8P
 259858-69-0P 259858-71-4P 259858-73-6P
 259858-82-7P 259858-83-8P 259858-84-9P
 259858-85-0P 259858-86-1P 259858-87-2P
 259858-89-3P 259858-89-4P 259858-90-7P
 259858-91-8P 259858-92-9P 259858-93-0P
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 259858-98-5P 259858-99-6P 259859-00-2P
 259859-01-3P 259859-02-4P 259859-03-5P
 259859-04-6P 259859-05-7P 259859-06-8P
 259859-07-9P 259859-08-0P 259859-09-1P
 259859-10-4P 259859-11-5P 259859-12-6P
 259859-13-7P 259859-14-8P 259860-43-0P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (target compd., prepn. of indolinealkylamine 5-HT2B and/or 5-HT2C receptor ligands by adn. of indoles to mesyloxyalkylamines or epoxides, followed by azidation, or by reaction of indolinealkylamines with arylboronic acids)
 RN 259857-82-4 CAPLUS
 CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

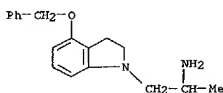


CM 2
 CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

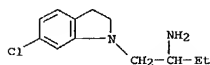


RN 259857-87-9 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-4-(phenylmethoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259857-88-0 CAPLUS
 CN 1H-Indole-1-ethanamine, 6-chloro-.alpha.-ethyl-2,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

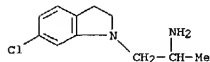


● HCl

RN 259857-90-4 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(phenylmethoxy)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

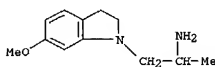
CM 1

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



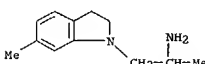
● HCl

RN 259857-83-5 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259857-84-6 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

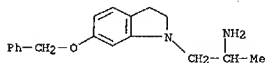
RN 259857-86-8 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-5-(phenylmethoxy)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-85-7
 CMF C18 H22 N2 O

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

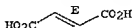
CRN 259857-89-1
 CMF C18 H22 N2 O



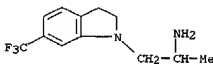
CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



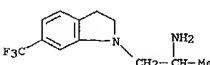
RN 259857-91-5 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)



RN 259857-92-6 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-91-5
 CMF C12 H15 F3 N2

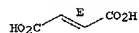


CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

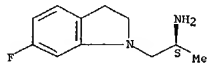


RN 259857-94-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-93-7
CMF C11 H15 F N2

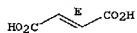
Absolute stereochemistry.



CM 2

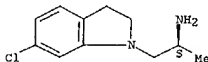
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259857-95-9 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 259857-96-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

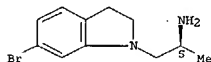
CRN 259857-95-9
CMF C11 H15 Cl N2

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 1

CRN 259857-99-3
CMF C11 H15 Br N2

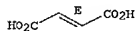
Absolute stereochemistry.



CM 2

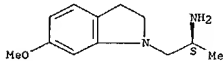
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-01-0 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

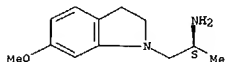


RN 259858-02-1 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

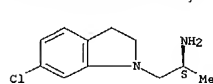
CRN 259858-01-0
CMF C12 H18 N2 O

Absolute stereochemistry.



CM 2

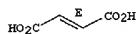
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

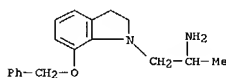
Double bond geometry as shown.



RN 259857-98-2 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-7-(phenylmethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 259857-97-1
CMF C18 H22 N2 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

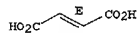


RN 259858-00-9 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

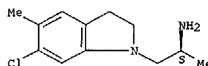


RN 259858-04-3 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.,5-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-03-2
CMF C12 H17 Cl N2

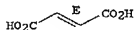
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

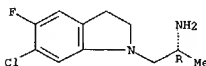


RN 259858-06-5 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-05-4
CMF C11 H14 Cl F N2

Absolute stereochemistry.

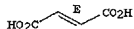


CM 2

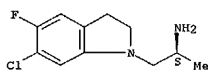
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

RN 259858-07-6 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

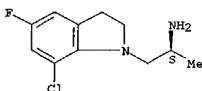
Absolute stereochemistry.

RN 259858-09-8 CAPLUS
CN 1H-Indole-1-ethanamine, 7-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-08-7
CMF C11 H14 Cl F N2

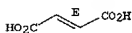
Absolute stereochemistry.



CM 2

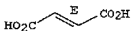
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-11-2 CAPLUS

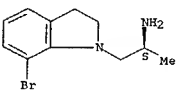
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 259858-15-6 CAPLUS
CN 1H-Indole-1-ethanamine, 7-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-14-5
CMF C11 H15 Br N2

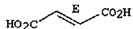
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

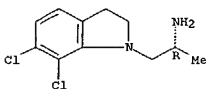
Double bond geometry as shown.

RN 259858-17-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6,7-dichloro-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-16-7
CMF C11 H14 Cl2 N2

Absolute stereochemistry.



CM 2

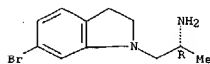
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-10-1
CMF C11 H15 Br N2

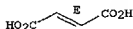
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

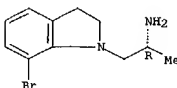
Double bond geometry as shown.

RN 259858-13-4 CAPLUS
CN 1H-Indole-1-ethanamine, 7-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-12-3
CMF C11 H15 Br N2

Absolute stereochemistry.



CM 2

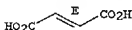
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

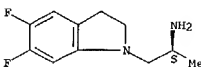
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

RN 259858-18-9 CAPLUS
CN 1H-Indole-1-ethanamine, 5,6-difluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

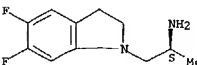
Absolute stereochemistry.

RN 259858-19-0 CAPLUS
CN 1H-Indole-1-ethanamine, 5,6-difluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-18-9
CMF C11 H14 F2 N2

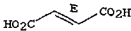
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

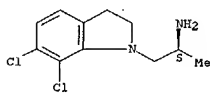
RN 259858-21-4 CAPLUS
CN 1H-Indole-1-ethanamine, 6,7-dichloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-20-3
CMF C11 H14 Cl2 N2

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

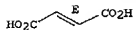
Absolute stereochemistry.



CM 2

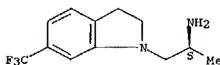
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-22-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

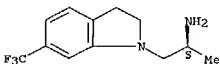


RN 259858-23-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-22-5
CMF C12 H15 F3 N2

Absolute stereochemistry.

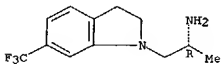


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.R)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-26-9
CMF C12 H15 F3 N2

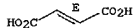
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

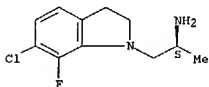


RN 259858-29-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-7-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-28-1
CMF C11 H14 Cl F N2

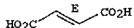
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

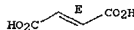
Double bond geometry as shown.



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CM 2

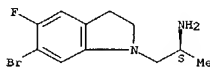
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-24-7 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

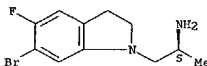


RN 259858-25-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-24-7
CMF C11 H14 Br F N2

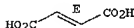
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

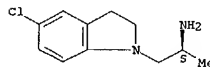


RN 259858-27-0 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 259858-30-5 CAPLUS
CN 1H-Indole-1-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



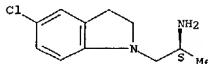
RN 259858-31-6 CAPLUS

CN 1H-Indole-1-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-30-5
CMF C11 H15 Cl N2

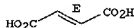
Absolute stereochemistry.



CM 2

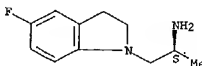
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-32-7 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

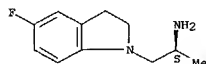


RN 259858-33-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CM 1

CRN 259858-32-7
CMF C11 H15 F N2

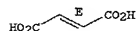
Absolute stereochemistry.



CM 2

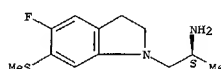
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-34-9 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

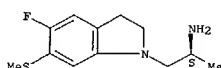


RN 259858-35-0 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-34-9
CMF C12 H17 F N2 S

Absolute stereochemistry.



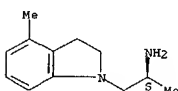
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 259858-39-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-4-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-38-3
CMF C12 H18 N2

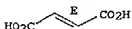
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

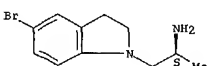


RN 259858-41-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-bromo-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-40-7
CMF C11 H15 Br N2

Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

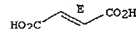
Double bond geometry as shown.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

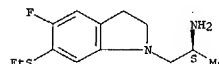
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-36-1 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

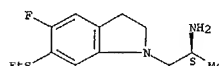


RN 259858-37-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-36-1
CMF C13 H19 F N2 S

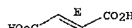
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



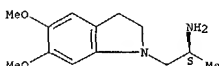
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 259858-43-0 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-5,6-dimethoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-42-9
CMF C13 H20 N2 O2

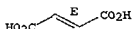
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

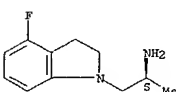


RN 259858-45-2 CAPLUS
CN 1H-Indole-1-ethanamine, 4-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-44-1
CMF C11 H15 F N2

Absolute stereochemistry.

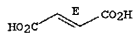


CM 2

CRN 110-17-8
CMF C4 H4 O4

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Double bond geometry as shown.

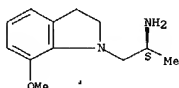


RN 259858-47-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-7-methoxy-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-46-3
CMF C12 H18 N2 O

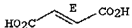
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-49-6 CAPLUS
CN 1H-Indole-1-ethanamine, 7-ethyl-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

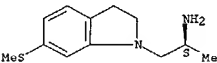
CRN 259858-48-5
CMF C13 H20 N2

Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

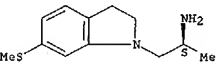


RN 259858-53-2 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-52-1
CMF C12 H18 N2 S

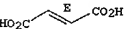
Absolute stereochemistry.



CM 2

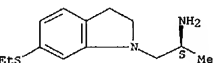
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-54-3 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

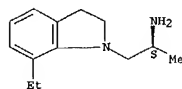
Absolute stereochemistry.



RN 259858-55-4 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

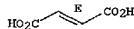
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

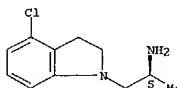


RN 259858-51-0 CAPLUS
CN 1H-Indole-1-ethanamine, 4-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-50-9
CMF C11 H15 Cl N2

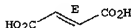
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

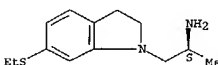


RN 259858-52-1 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(methylthio)-, (.alpha.S)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 259858-54-3
CMF C13 H20 N2 S

Absolute stereochemistry.



CM 2

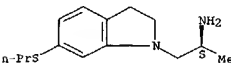
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-56-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(propylthio)-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

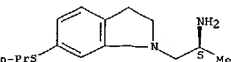


RN 259858-57-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(propylthio)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-56-5
CMF C14 H22 N2 S

Absolute stereochemistry.

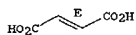


CM 2

CRN 110-17-8
CMF C4 H4 O4

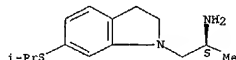
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Double bond geometry as shown.



RN 259858-58-7 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-[(1-methylethyl)thio]-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

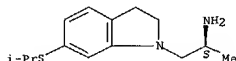


RN 259858-59-8 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-[(1-methylethyl)thio]-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-59-7
 CMF C14 H22 N2 S

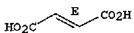
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

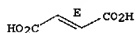
Double bond geometry as shown.



RN 259858-61-2 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-phenyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

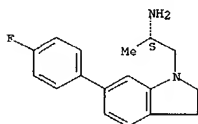


RN 259858-65-6 CAPLUS
 CN 1H-Indole-1-ethanamine, 6-(4-fluorophenyl)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-64-5
 CMF C17 H19 F N2

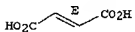
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

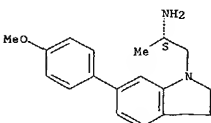


RN 259858-67-8 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-(4-methoxyphenyl)-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-66-7
 CMF C18 H22 N2 O

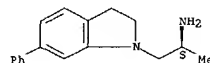
Absolute stereochemistry.



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 259858-60-1
 CMF C17 H20 N2

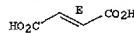
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

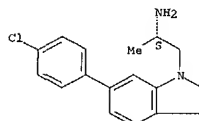


RN 259858-63-4 CAPLUS
 CN 1H-Indole-1-ethanamine, 6-(4-chlorophenyl)-2,3-dihydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-62-3
 CMF C17 H19 Cl N2

Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.

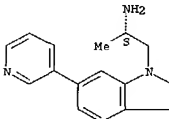


RN 259858-69-0 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(3-pyridinyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-68-9
 CMF C16 H19 N3

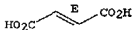
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



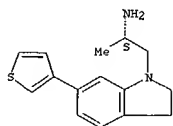
RN 259858-71-4 CAPLUS
 CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(3-thienyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-70-3
 CMF C15 H18 N2 S

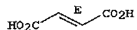
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2
CRN 110-17-8
CMF C4 H4 O4

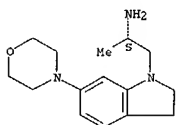
Double bond geometry as shown.



RN 259858-73-6 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(4-morpholinyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1
CRN 259858-72-5
CMF C15 H23 N3 O

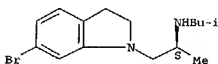
Absolute stereochemistry.



CM 2
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

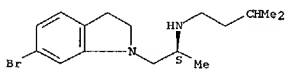
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 259858-85-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(3-methylbutyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

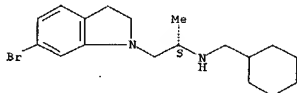
Absolute stereochemistry.



● HCl

RN 259858-86-1 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-N-(cyclohexylmethyl)-2,3-dihydro-.alpha.-methyl-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

RN 259858-87-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(4-pyridinylmethyl)-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

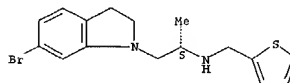
Absolute stereochemistry.

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 259858-82-7 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(2-thienylmethyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

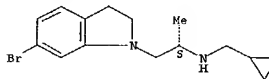
Absolute stereochemistry.



● HCl

RN 259858-83-8 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-N-(cyclopropylmethyl)-2,3-dihydro-.alpha.-methyl-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

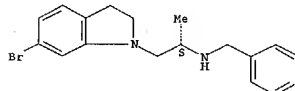


● HCl

RN 259858-84-9 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl-N-(2-methylpropyl)-, monohydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

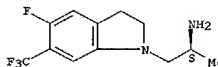
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● 2 HCl

RN 259858-88-3 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)- (9CI) (CA INDEX NAME)

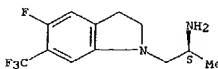
Absolute stereochemistry.



RN 259858-89-4 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-6-(trifluoromethyl)-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

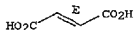
CM 1
CRN 259858-88-3
CMF C12 H14 F4 N2

Absolute stereochemistry.



CM 2
CRN 110-17-8
CMF C4 H4 O4

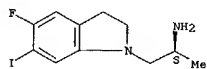
Double bond geometry as shown.



RN 259858-90-7 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-6-iodo-.alpha.-methyl-,

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

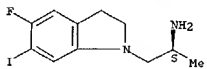


RN 259858-91-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-6-iodo-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-90-7
CMF C11 H14 F I N2

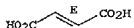
Absolute stereochemistry.



CM 2

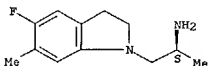
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



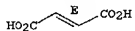
RN 259858-92-9 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.,6-dimethyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



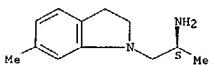
RN 259858-93-0 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.,6-dimethyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Double bond geometry as shown.



RN 259858-96-3 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,6-dimethyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

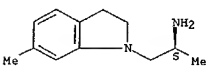


RN 259858-97-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.,6-dimethyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-96-3
CMF C12 H18 N2

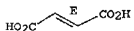
Absolute stereochemistry.



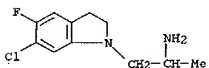
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 259858-98-5 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

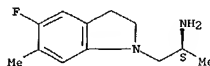


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-92-9
CMF C12 H17 F N2

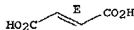
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

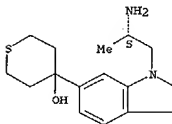


RN 259858-95-2 CAPLUS
CN 2H-Thiopyran-4-ol, 4-[1-[(2S)-2-aminopropyl]-2,3-dihydro-1H-indol-6-yl]tetrahydro-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 259858-94-1
CMF C16 H24 N2 O S

Absolute stereochemistry.

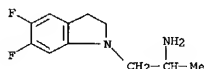


CM 2

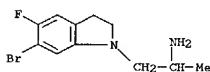
CRN 110-17-8
CMF C4 H4 O4

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

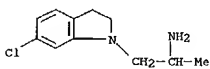
RN 259858-99-6 CAPLUS
CN 1H-Indole-1-ethanamine, 5,6-difluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)



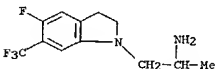
RN 259859-00-2 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-5-fluoro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)



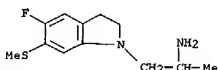
RN 259859-01-3 CAPLUS
CN 1H-Indole-1-ethanamine, 6-chloro-2,3-dihydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)



RN 259859-02-4 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-, (trifluoromethyl)- (9CI) (CA INDEX NAME)

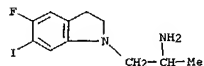


RN 259859-03-5 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl-, 6-(methylthio)- (9CI) (CA INDEX NAME)

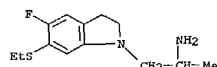


L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

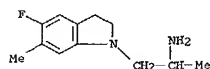
RN 259859-04-6 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-6-iodo-.alpha.-methyl- (9CI)
(CA INDEX NAME)



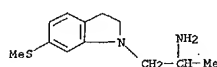
RN 259859-05-7 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-5-fluoro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 259859-06-8 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-6-dimethyl- (9CI)
(CA INDEX NAME)



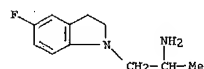
RN 259859-07-9 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(methylthio)- (9CI)
(CA INDEX NAME)



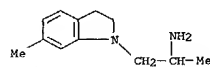
RN 259859-08-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-(ethylthio)-2,3-dihydro-.alpha.-methyl- (9CI)
(CA INDEX NAME)

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

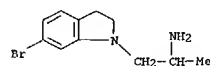
RN 259859-13-7 CAPLUS
CN 1H-Indole-1-ethanamine, 5-fluoro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



RN 259859-14-8 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-6-dimethyl- (9CI) (CA INDEX NAME)

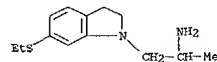


RN 259860-43-0 CAPLUS
CN 1H-Indole-1-ethanamine, 6-bromo-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)

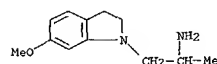


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

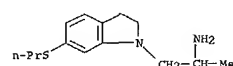
L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



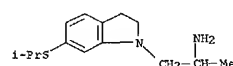
RN 259859-09-1 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-6-methoxy-.alpha.-methyl- (9CI) (CA INDEX NAME)



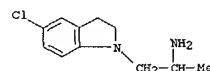
RN 259859-10-4 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-(propylthio)- (9CI)
(CA INDEX NAME)



RN 259859-11-5 CAPLUS
CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-6-[(1-methylethyl)thio]- (9CI) (CA INDEX NAME)



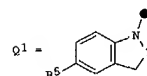
RN 259859-12-6 CAPLUS
CN 1H-Indole-1-ethanamine, 5-chloro-2,3-dihydro-.alpha.-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:511892 CAPLUS
DOCUMENT NUMBER: 127:121565
TITLE: Preparation of aryloethanolamine derivatives as agonists of atypical .beta.-adrenoceptors.
INVENTOR(S): Green, Richard Howard; Foxton, Michael Walter
PATENT ASSIGNER(S): Glaxo Group Limited, UK; Green, Richard Howard; Foxton, Michael Walter
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXK02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

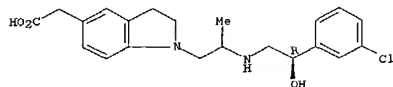
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721665	A1	19970619	WO 1996-EP5469	19961206
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, KE, LS, NW, CD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9711915	A1	19970703	AU 1997-11915	19961206
EP 865421	A1	19980923	EP 1996-943050	19961206
EP 865421	B1	20020327		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2000506498	T2	20000530	JP 1997-521716	19961206
AT 215064	E	20020415	AT 1996-943050	19961206
ES 2175166	T3	20021116	ES 1996-943050	19961206
US 6048872	A	20000411	US 1998-77910	19980605
PRIORITY APPL. INFO.:			GB 1995-25177	A 19951208
			WO 1996-EP5469	W 19961206
OTHER SOURCE(S):		MARPAT 127:121565		
GI				



AB HOCHR1CH2NCH2CH2R3 [R1 = (substituted) aryl; R2, R4 = H, alkyl; R3 = (substituted) 4-R4NCH2R5, Q1; R5 = 2CH2CO2H; Z = bond; O: Y = (CH2)n; n = 1-3], were prep. Thus, 4-(2R)-[2-(3-chlorophenyl)-2R-hydroxyethylamino]propylamino]-2,3-difluorophenylacetic acid (prepn. given) inhibited indomethacin-induced antral damage in rats with ED50 = 0.003 mg/kg orally.
IT 192650-36-8P
RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SYN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aryloethanolamine derivs. as agonists of atypical .beta.-adrenoceptors)

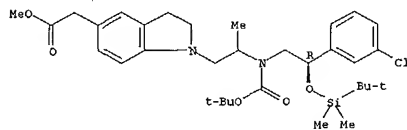
L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 192650-36-5 CAPLUS
 CN 1H-Indole-5-acetic acid, 1-[2-[[2-(3-chlorophenyl)-2-hydroxyethyl]amino]propyl]-2,3-dihydro-, [2(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



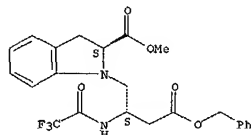
IT 192650-60-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of arylethanolamine derivs. as agonists of atypical .beta.-adrenoceptors)
 RN 192650-60-5 CAPLUS
 CN 1H-Indole-5-acetic acid, 1-[2-[[2-(3-chlorophenyl)-2-[[[1,1-dimethylethyl]dimethylsilyl]oxy]ethyl]([1,1-dimethylethoxy]carbonyl)amino]propyl]-2,3-dihydro-, methyl ester, [2(R)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



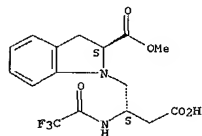
L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 (prepn. of antihypertensive tricyclic azepine derivs. useful as inhibitors of enkephalinase and ACE)
 RN 193280-53-4 CAPLUS
 CN 1H-Indole-1-butanolic acid, 2,3-dihydro-2-(methoxycarbonyl)-.beta.-[(trifluoroacetyl)amino]-, phenylmethyl ester, [S-(R⁴,R⁴)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193280-54-5 CAPLUS
 CN 1H-Indole-1-butanolic acid, 2,3-dihydro-2-(methoxycarbonyl)-.beta.-[(trifluoroacetyl)amino]-, [S-(R⁴,R⁴)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:442435 CAPLUS
 DOCUMENT NUMBER: 127:149088
 TITLE: Preparation of antihypertensive tricyclic azepine derivatives useful as inhibitors of enkephalinase and angiotensin converting enzyme (ACE)
 INVENTOR(S): De Lombert, Stephane
 PATENT ASSIGNER(S): Ciba-Geigy Corp., USA
 SOURCE: U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 85,223, abandoned.
 CODEM: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5644055	A	19970701	US 1995-569117	19951220
WO 9501353	A1	19950112	WO 1994-EP1978	19940617
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 1993-85223 19930630	
			WO 1994-EP1978 19940617	
OTHER SOURCE(S):			MARPAT 127:149088	
GI				

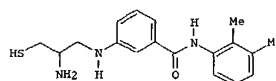
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are the compds. of formula I (X = oxo, OH or lower alkoxy and H, or 2H; Ra and Rb independently = H, OH, lower alkoxy, NO₂, NH₂ or halogen; or Ra and Rb on adjacent carbons taken together = lower alkylenedioxy; R_c = H, lower alkyl or aryl-lower alkyl; R = H or acyl; R₁ = H, lower alkyl, aryl, aryl-lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, biaryl, biaryl-lower alkyl or CF₃; R₂ = H or lower alkyl; or R₁ and R₂ together with the carbon to which they are attached = cycloalkylene or benzo-fused cycloalkylene; m = 1 or 2; n = 0 or 1; CO₂R₃ = carboxyl or carboxyl derivatized in form of a pharmaceutically acceptable ester; disulfide derivs. formed from said compds. wherein R = H) and pharmaceutically acceptable salts thereof; pharmaceutical compds. comprising said compds.; methods for prepn. of said compds.; intermediates; and methods of treating disorders in mammals which are responsive to ACE and neutral endopeptidase (NEP) inhibition (no data) by administration of said compds. to mammals in need of such treatment. Thus, to a stirred soln. of 1.45 g I I (prepd.) is added a soln. of 1.51 g (S)-.alpha.-benzyl-5-acetylthioacetic acid, 2.97 g EOP reagent, and Et₃N 1.9 mL, warmed to room temp. for 2 h, then stirred for 18 h at room temp. The product is crystd. from Et acetate and hexane at 50.degree. for 1 h to yield an azepinoindolone deriv. (III).

IT 193280-53-4P 193280-54-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

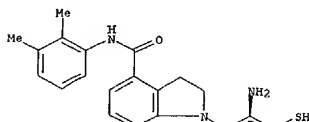
L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:342744 CAPLUS
 DOCUMENT NUMBER: 127:50410
 TITLE: Preparation of 3-(aminomercaptopropylamino)benzanilide s and analogs as farnesyl protein transferase inhibitors
 INVENTOR(S): Ciccarone, Terrence M.; Dinsmore, Christopher J.; Stokker, Gerald E.; Wai, John S.; Williams, Theresa M.
 PATENT ASSIGNER(S): Merck and Co., Inc., USA
 SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 412,621, abandoned.
 CODEM: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5631280	A	19970520	US 1995-448865	19950524
WO 9630014	A1	19961003	WO 1996-US3958	19960325
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, US, UZ, VN, AM, AZ, BY, KG, KZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, HR, NR, SN, TD, TG				
CA 2216526	AA	19961003	CA 1996-2216526	19960325
AU 9653218	A1	19961016	AU 1996-53218	19960325
EP 817629	A1	19980114	EP 1996-909845	19960325
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11503418	T2	19990326	JP 1996-529541	19960325
PRIORITY APPLN. INFO.:			US 1995-412621 19950329	
			US 1995-448865 19950524	
			WO 1996-US3958 19960325	
OTHER SOURCE(S):			MARPAT 127:50410	
GI				



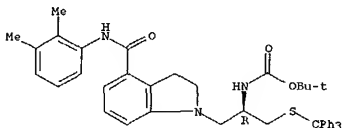
AB Title compds., e.g., HS(CH₂)_mCH(NR₁)C(X)NR₂(CH₂)_nIZER₃ [R₁,R₂ = H or (ar)alkyl; R₃ = alk(en)yl, heterocyclyl, aryl, etc.; X = O or H₂; Z₁ = (un)substituted phenylene; Z₂ = CH=CH, CH₂, CO, CONH, etc.; m = 1 or 2; n = 0 or 1] were claimed. Disclosed title compds. were exemplified by benzanilide (R)-I prepd. by amidation of 3-(O₂N)C₆H₄CO₂H by H₂NCH₂CH₂CH₂OH followed by redn. and reductive N-alkylation by MeSCO₂CH₂CH₂CH₂CHO and deprotection. Data for biol. activity of title compds. were given.

IT 183269-27-4P 183269-92-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);



L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 IT 183270-26-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of (2-amino-3-mercaptopropylamino)benzene derivs. as inhibitors
 of farnesyl-protein transferase)
 RN 183270-26-0 CAPLUS
 CN Carbamic acid, [1-[[4-[[[(2,3-dimethylphenyl)amino]carbonyl]-2,3-dihydro-1H-
 indol-1-yl]methyl]-2-[(triphenylmethyl)thio]ethyl]-, 1,1-dimethylethyl
 ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



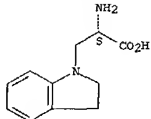
L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1992:422389 CAPLUS
 DOCUMENT NUMBER: 117:22389
 TITLE: Substitution of glutamic acid 109 by aspartic acid
 alters the substrate specificity and catalytic
 activity of the .beta.-subunit in the tryptophan
 synthase hienzyme complex from Salmonella typhimurium
 Brzovic, Peter S.; Kayastha, Arvind M.; Miles, Edith
 Wilson, Dunn, Michael F.
 CORPORA TE SOURCE: Dep. Biochem., Univ. California, Riverside, CA,
 92521-0129, USA
 SOURCE: Biochemistry (1992), 31(4), 1180-90
 CODEN: BICHAW; ISSN: 0006-2960
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB In an effort to understand the catalytic mechanism of the tryptophan
 synthase .beta.-subunit from S. typhimurium, possible functional active
 site residues were identified (on the basis of the 3-dimensional crystal
 structure of the hienzyme complex) and targeted for anal. utilizing
 site-directed mutagenesis. The chromophoric properties of the pyridoxal
 5'-phosphate cofactor provided a particularly convenient and sensitive
 spectral probe to directly investigate changes in catalytic events which
 occur upon modification of the .beta.-subunit. Substitution of Asp for
 Glu-109 in the .beta.-subunit altered both the catalytic activity and the
 substrate specificity of the .beta.-reaction. Steady-state data revealed
 that the .beta.-reaction catalyzed by the .beta.E109D .alpha.2.beta.2
 mutant enzyme complex was reduced 27-fold compared to the wild-type
 enzyme. Rapid-scanning stopped-flow (RSSF) UV-visible spectroscopy showed
 that the mutation did not seriously affect the pre-steady-state reaction
 of the .beta.E109D mutant with L-serine to form the .alpha.-aminoacrylate
 intermediate, E(A-A). Binding of the .alpha.-subunit-specific ligand,
 .alpha.-glycerol phosphate (GP) to the .alpha.2.beta.2 complex exerted the
 same allosteric effects on the .beta.-subunit as obsd. with the wild-type
 enzyme. However, the pre-steady-state spectral changes for the reaction
 of indole with E(A-A) showed that the formation of the L-tryptophan
 quinonoid, E(Q3), was drastically altered. Discrimination against E(Q3)
 formation was also obsd. for the binding of L-tryptophan to the mutant
 .alpha.2.beta.2 complex in the reverse reaction. In contrast,
 substitution of Asp for Glu-109 increased the apparent affinity of the
 .beta.E109D .alpha.-aminoacrylate complex for the indole analog, indoline,
 and resulted in the increased rate of synthesis of the amino acid product,
 dihydroiso-L-tryptophan. Thus, the mutation affects the covalent
 bond-forming addn. reactions and the nucleophile specificity of the
 .beta.-reaction catalyzed by the hienzyme complex.

IT 113659-33-9
 RL: FORM (Formation, nonpreparative)
 (formation of, by tryptophan synthase of Salmonella typhimurium,
 aspartate replacement of .beta.-subunit glutamate-109 effect on)
 RN 113659-33-9 CAPLUS
 CN 1H-Indole-1-propanoic acid, .alpha.-amino-2,3-dihydro-, (S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



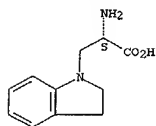
L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1988:146156 CAPLUS
 DOCUMENT NUMBER: 108:146156
 TITLE: The interconversion of E. coli tryptophan synthase
 intermediates is modulated by allosteric interactions
 Dunn, Michael F.; Aguilar, Valentin; Drews, William F.,
 Jr.; Houben, Karl; Robustelli, Brian; Roy, Melinda
 CORPORA TE SOURCE: Dep. Biochem., Univ. California, Riverside, CA, 92521,
 USA
 SOURCE: Indian Journal of Biochemistry & Biophysics (1987),
 24(5, Suppl.), 44-51
 CODEN: IJBRRQ; ISSN: 0301-1208
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The interrelationship between the allosteric properties of the native
 .alpha.2.beta.2 tryptophan synthase (EC 4.2.1.20) of Escherichia coli and
 the interconversion of covalent intermediates in reactions catalyzed by
 the .beta. catalytic sites was studied by employing rapid-scanning,
 stopped-flow, UV-visible spectroscopy (1) to detect and identify
 intermediates in the reactions of indole and L-serine and various analogs
 of these substrates and (2) to det. how effectors, such as
 DL-glycerol-3-phosphate and benzimidazole, influence the interconversion
 of chem. intermediates along the reaction path. Evidence was found for
 strong, pos.-cooperative interactions between the .alpha. and .beta.
 subunits which alter the energies of the ground states of intermediates
 and of the transition states for their interconversion. With some of the
 indole analogs, e.g., indoline and indoline homologs, reaction with
 L-serine resulted in the synthesis of new, artificial amino acid analogs
 of L-tryptophan in which a C-N bond (rather than a C-C bond) was
 synthesized. Certain other analogs of indole reacted with the
 enzyme-bound .alpha.-aminoacrylate Schiff base intermediate to yield
 quasi-stable quinoidal species with .lambda.max values of 454-468 nm
 (.lambda.max >40,000 M-1 cm-1). The transient kinetic time courses for
 the appearance of these quinoidal species consisted of 2 relaxations. The
 concn. dependencies of these relaxations were consistent with an
 allosteric model for .alpha.2.beta.2 wherein the .alpha.-aminoacrylate
 intermediate preexists in 2 slowly interconverting forms. The catalytic
 properties of 1 of these 2 forms were modulated via interaction with the
 allosteric effector DL-glycerol-3-phosphate. The other form already
 existed in a highly reactive form. The relationship of these findings to
 catalysis of bond formation/bond scission and to substrate channelling
 between the .alpha. and .beta. sites is discussed.

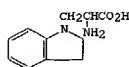
IT 113659-33-9
 RL: FORM (Formation, nonpreparative)
 (formation of, in indoline interaction with tryptophan synthase
 .alpha.-aminoacrylate intermediate in Escherichia coli)
 RN 113659-33-9 CAPLUS
 CN 1H-Indole-1-propanoic acid, .alpha.-amino-2,3-dihydro-, (S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

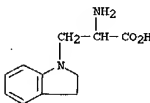


L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:594686 CAPLUS
DOCUMENT NUMBER: 107:194686TITLE: A new enzymic reaction for producing new-type amino acids by *Escherichia coli*: production of .alpha.-amino-.beta.-(1-indoline) propionic acid from indoline and L-serineAUTHOR(S): Kanamitsu, Osamu; Kitajima, Nakao; Nagoya, Ichiro
CORPORATE SOURCE: Corp. Res. Lab., Asahi Chem. Ind., Co., Ltd., Fuji, 416, JapanSOURCE: Journal of Fermentation Technology (1987), 65(4), 395-403
CODEN: JFTED8; ISSN: 0385-6380DOCUMENT TYPE: Journal
LANGUAGE: English
GIAB A product formed from indoline and L-serine by *E. coli* T4-3 was isolated and identified as .alpha.-amino-.beta.-(1-indoline)propionic acid (I) from data obtained by paper chromatog., elemental anal., UV, IR, 1H-NMR, 13C-NMR, and mass spectrometry. The reaction conditions and the requirements for the reaction were also studied. I was produced only using L-serine, L-serine Me ester, or L-serine Et ester as the amino acid source.IT 110970-00-8
RL FORM (Formation, nonpreparative)
(formation of, from indoline and serine by *Escherichia coli*)

RN 110970-00-8 CAPLUS

CN 1H-Indole-1-propanoic acid, .alpha.-amino-2,3-dihydro- (9CI) (CA INDEX NAME)



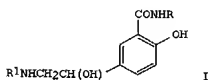
L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1982:199236 CAPLUS
DOCUMENT NUMBER: 96:199236

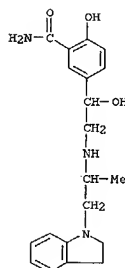
TITLE: Arylethanolamines derived from salicylamide with .alpha.- and .beta.-adrenoceptor blocking activities. Preparation of labetalol, its enantiomers and related salicylamides

AUTHOR(S): Clifton, James E.; Collins, Ian; Hallett, Peter; Hartley, David; Lunts, Lawrence H. C.; Wicks, Philip D.

CORPORATE SOURCE: Chem. Dep., Glaxo Group Res. Ltd., Ware/Herts., SG12 0DS, UK

SOURCE: Journal of Medicinal Chemistry (1982), 25(6), 670-9
CODEN: JMCNAR; ISSN: 0022-2623DOCUMENT TYPE: Journal
LANGUAGE: English
GIR¹NHCH₂CH(OH) I

L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Phenylethanolamines I (R = H, Me, PhCH₂, HOCH₂CH₂, NH₂; R¹ = alkyl or substituted alkyl) were prepd. and shown to possess .beta.-adrenoceptor blocking properties. When the basic N atom was substituted by some aralkyl groups, the compds. also blocked .alpha.-adrenoceptors. Labetalol (I; R = H, R¹ = PhCH₂CH₂CH₂Me) is antihypertensive in animals and man, and syntheses of its 4 stereoisomers are described. The enantiomer with the (R) configuration at both asym. centers possessed most of the .beta.-blocking activity but little .alpha.-blocking activity. That with the (S) configuration at the alc. carbon and the (R) configuration on the amino substituent is predominantly an .alpha.-adrenoceptor blocking agent.IT 81579-55-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 81579-55-7 CAPLUS

CN Benzamide, 5-[2-[[2-(2,3-dihydro-1H-indol-1-yl)-1-methylethyl]amino]-1-hydroxyethyl]-2-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on SIN

ACCESSION NUMBER: 1981:480721 CAPLUS

DOCUMENT NUMBER: 95:80721

TITLE: 1-Aminoalkyl-3-monophenylindolines and their pharmaceutical preparations

INVENTOR(S): Gadiant, Fulvio

PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.

SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXEX

DOCUMENT TYPE: Patent

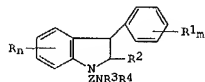
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3022648	A1	19810115	DE 1980-3022648	19800618
FI 8002002	A	19801230	FI 1980-2002	19800623
NL 8003674	A	19801231	NL 1980-3674	19800625
GB 2051811	A	19810121	GB 1980-20753	19800625
BE 884013	A1	19801229	BE 1980-9865	19800626
SE 8004757	A	19801230	SE 1980-4757	19800627
DK 8002803	A	19801230	DK 1980-2803	19800627
AU 8059739	A1	19810108	AU 1980-59739	19800627
FR 2460296	A1	19810123	FR 1980-14348	19800627
FR 2460296	B1	19830805		
ES 492884	A1	19810601	ES 1980-492884	19800627
ZA 8003888	A	19820224	ZA 1980-3888	19800627
CA 1134370	A1	19821026	CA 1980-354967	19800627
IL 60420	A1	19831031	IL 1980-60420	19800627
JP 56008363	A2	19810128	JP 1980-88451	19800628
FR 2514352	A1	19830415	FR 1982-18841	19821108
PRIORITY APPLN. INFO:			CH 1979-6098	19790629

GI



I

AB The antidepressive (no data) compds. 1 (R, R1 = H, halogen, alkyl, alkoxy, OH, CF3; n = 1, 2; m = 1-3; R2, R3, R4 = H, alkyl; Z = C2-4 alkylene) and their salts were prepd. Thus, 3-phenylindole reacted with ClCH2CONH2 in DMF, and the resulting amide was reduced with LiAlH4 to give 1-(2-aminoethyl-3-phenylindole), which was reduced by Na in liq. NH3 to 1-(2-aminoethyl)-3-phenylindoline.

IT 77548-35-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and redn. of)

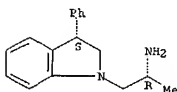
RN 77544-35-9 CAPLUS

CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-3-phenyl-,

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on SIN (Continued)

CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-3-phenyl-, monohydrochloride, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



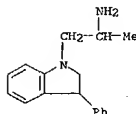
● HCl

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on SIN (Continued)
 (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 77554-34-8

CMF C17 H20 N2

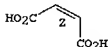


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



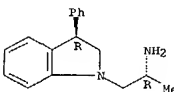
IT 77548-78-8P 77548-79-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 77548-78-8 CAPLUS

CN 1H-Indole-1-ethanamine, 2,3-dihydro-.alpha.-methyl-3-phenyl-, monohydrochloride, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 77548-79-9 CAPLUS

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

83.37

232.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-11.07

-11.07

STN INTERNATIONAL LOGOFF AT 11:17:44 ON 24 SEP 2003

Welcome to STN International! Enter x:x

LOGINID:sssptal600rxa

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/CAPLUS records now contain indexing from 1907 to the
present
NEWS 4 Jul 15 Data from 1960-1976 added to RDISCLOSURE
NEWS 5 Jul 21 Identification of STN records implemented
NEWS 6 Jul 21 Polymer class term count added to REGISTRY
NEWS 7 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
Right Truncation available
NEWS 8 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 9 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 10 AUG 15 PATDPAFULL: one FREE connect hour, per account, in
September 2003
NEWS 11 AUG 15 PCTGEN: one FREE connect hour, per account, in
September 2003
NEWS 12 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in
September 2003
NEWS 13 AUG 15 TEMA: one FREE connect hour, per account, in
September 2003
NEWS 14 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 15 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 16 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 17 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 18 SEP 22 DIPPR file reloaded

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 14:10:07 ON 24 SEP 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:10:22 ON 24 SEP 2003

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STRUCTURE FILE UPDATES: 23 SEP 2003 HIGHEST RN 591719-82-3

DICTIONARY FILE UPDATES: 23 SEP 2003 HIGHEST RN 591719-82-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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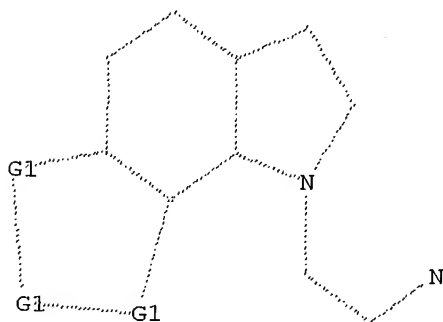
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L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 C,O

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:11:23 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2033 TO ITERATE

49.2% PROCESSED 1000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 37956 TO 43364
PROJECTED ANSWERS: 2 TO 201

L2 2 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:11:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 40008 TO ITERATE

100.0% PROCESSED 40008 ITERATIONS 51 ANSWERS
SEARCH TIME: 00.00.01

L3 51 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 148.55 148.76

FILE 'CAPLUS' ENTERED AT 14:11:30 ON 24 SEP 2003
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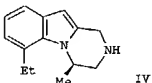
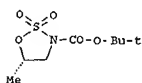
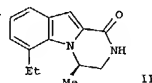
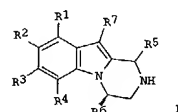
FILE COVERS 1907 - 24 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 23 Sep 2003 (20030923/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 12 L3
=> d ibib abs hitstr 1-12

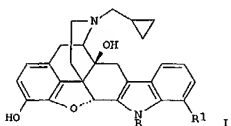
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:716279 CAPLUS
 DOCUMENT NUMBER: 137:232679
 TITLE: Preparation of piperazines as selective serotonin 5-HT₂ receptor ligands for the treatment of obesity and other disorders
 INVENTOR(S): Hebeisen, Paul; Mattei, Patrizio; Muller, Marc; Richter, Hans; Roeve, Stephan; Taylor, Sven
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.; Vernalis Research Limited
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072584	A2	20020919	WO 2002-EP2443	20020306
WO 2002072584	A3	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PA, PE, PG, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169163	A1	20021114	US 2002-92751	20020307
PRIORITY APPL. INFO.:			GR 2001-6177	A 20010313
OTHER SOURCE(S):		MARPAT 137:232679		
GI				



L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:213834 CAPLUS
 DOCUMENT NUMBER: 136:263292
 TITLE: Preparation of therapeutic and diagnostic agents containing an opioid receptor targeting moiety
 INVENTOR(S): Meyer, Damon L.; Kania, Sudhakar
 PATENT ASSIGNEE(S): NeoRx Corporation, USA
 SOURCE: U.S., 57 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6359111	B1	20020319	US 1999-321054	19990527
PRIORITY APPL. INFO.:			US 1998-87209P	P 19990528
OTHER SOURCE(S):		MARPAT 136:263292		
GI				



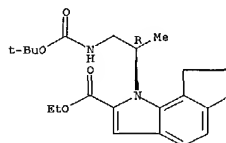
AB Opioid receptor ligands, such as I [R = L-M, R1 = H; R = H, R1 = L-M; L = 2-60 atom linking group; M = therapeutic, diagnostic, radionuclide chelating, fluorochrome, toxin, polyboron, protein, biol. response modifier moiety], were prepd. for use treating cancer or imaging opioid receptors either inside or outside of the central nervous system. Thus, I [R = H, R1 = biotinoyl-NH-(CH₂)₅CONH(CH₂)₂NHCO(CH₂)₂NHCO-] via an amidation reaction of N-hydroxysuccinimide biotinamidocaproate and I [R = H, R1 = H₂N(CH₂)₂NHCO(CH₂)₂NHCO-] in DMF. The prepd. opioid receptor ligands were tested for delta-opioid receptor binding activity.

IT 404596-00-5P 404596-02-7P 404965-14-6P
 404965-15-7P 405066-37-7P
 RI: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of therapeutic and diagnostic agents contg. an opioid receptor targeting moiety)
 RN 404596-00-5 CAPLUS
 CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2-[[4-[[6-[[1-[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

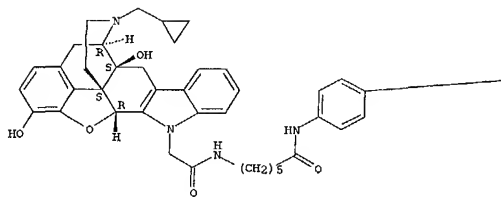
L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 AB Title compds. I [R1-R4 = H, halo, OH, etc. with the proviso that at least one of the moieties R1-R4 is not H; R5 = H, alkyl, cycloalkyl; R6 = H, alkyl, cycloalkyl, etc.; R7 = H, halo, alkyl, etc.], their pharmaceutically acceptable salts and formulations were prepd. For example, LAM retn. of amide II, prepd. from oxathiazolidine III and 7-ethyl-1H-indole-2-carboxylic acid Et ester, afforded claimed piperazine IV in 100% yield. In serotonin receptor binding assays, piperazine IV exhibited activity toward the 5-HT_{2c}, 5-HT_{2b} and 5-HT_{2a} receptors with K_i values of 50, 86 and 205 nM, resp. Also compds. I have functional activity at the human 5-HT_{2c} receptor in the range of 10,000 to 0.1 nM. Compds. I are claimed for the treatment or prevention of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, etc. (no data provided).
 IT 459817-56-2P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prepn. of piperazines as selective serotonin 5-HT₂ receptor ligands for the treatment of obesity and other disorders)
 RN 459817-56-2 CAPLUS
 CN Cyclopent[glindole-2-carboxylic acid, 1-[(1R)-2-[[[1,1-dimethylethoxy]carbonyl]amino]-1-methylethyl]-1,6,7,8-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

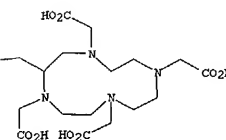


L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

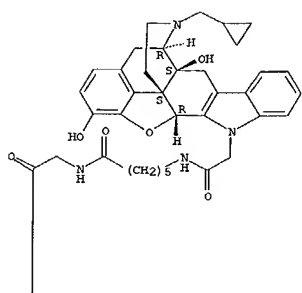


RN 404596-02-7 CAPLUS
 CN 4,8-Methanobenzofuro[2,3-a]pyrido[4,3-b]carbazole-14(5H)-acetamide, 7-(cyclopropylmethyl)-N-[6-[[2-[(1S,6-dihydroxy-3'-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthene]-5'-yl]amino]-2-oxohexyl]amino]-6-oxohexyl]-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-, (4bS,8R,8aS,14bR)- (9CI) (CA INDEX NAME)

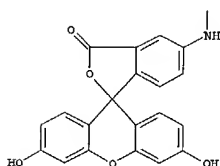
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



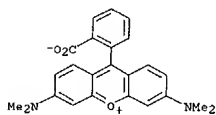
PAGE 2-A



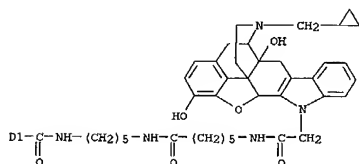
RN 404965-14-6 CAPLUS
CN Indate (1-), [2-[[[4-[[[6-[[[7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetate(4-)-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10,.kappa.O1,.kappa.O4,.kappa.O7,.kappa.O10]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]pentyl]amino]carbonyl]phenyl]-3,4-bis(dimethylamino)-, inner salt (9CI) (CA INDEX NAME)

PAGE 1-A

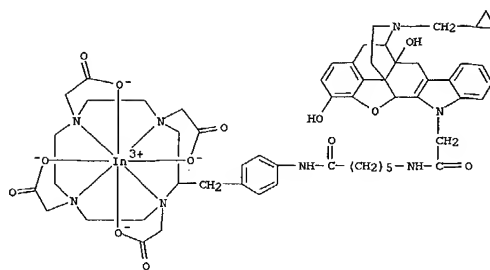


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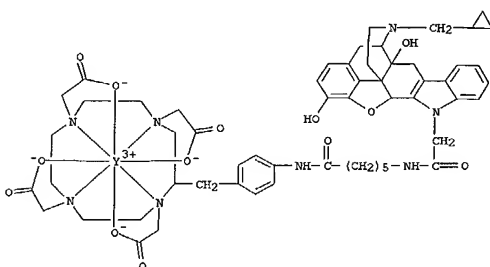


IT 404595-95-5P 404595-96-6P 404595-98-8P
404595-99-9P 404596-01-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of therapeutic and diagnostic agents contg. an opioid receptor targeting moiety)
RN 404595-95-5 CAPLUS
CN Hexanoic acid, 6-[[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

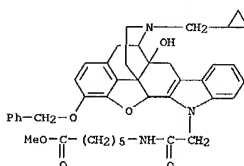


RN 404965-15-7 CAPLUS
CN Yttrate (1-), [2-[[[4-[[[6-[[[7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]-1,4,7,10-tetraazacyclododecane-1,4,7,10-tetraacetate(4-)-.kappa.N1,.kappa.N4,.kappa.N7,.kappa.N10,.kappa.O1,.kappa.O4,.kappa.O7,.kappa.O10]- (9CI) (CA INDEX NAME)



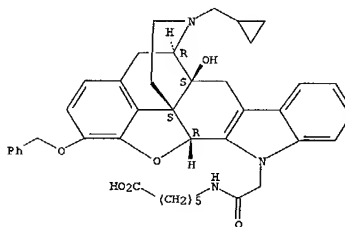
RN 405066-37-7 CAPLUS
CN Xanthylum, 9-[2-carboxy-4(or 5)-[[[5-[[[6-[[[4bS,8R,8aS,14bR)-7-

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 404595-96-6 CAPLUS
CN Hexanoic acid, 6-[[[[(4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]- (9CI) (CA INDEX NAME)

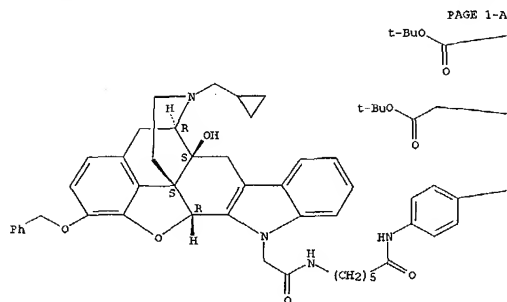
Absolute stereochemistry.



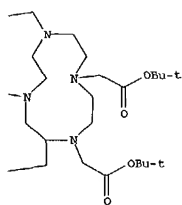
RN 404595-98-8 CAPLUS
CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2-[[[4-[[[6-[[[4bS,8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]-, tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



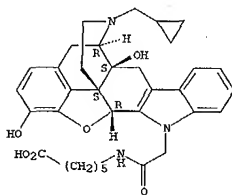
PAGE 1-B



RN 404595-99-9 CAPLUS
 CN 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid, 2-[[4-[[6-[[[1,4-bis(8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-8a-hydroxy-1-(phenylmethoxy)-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]-1-oxohexyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

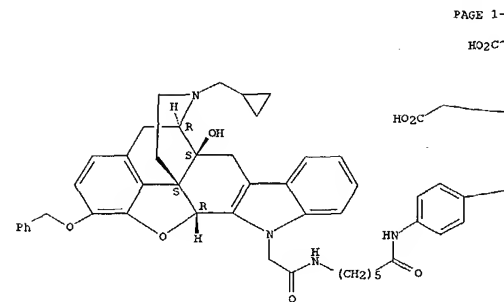
Absolute stereochemistry.

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

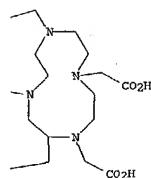


REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



PAGE 1-B



RN 404596-01-6 CAPLUS
 CN Hexanoic acid, 6-[[[1,4-bis(8R,8aS,14bR)-7-(cyclopropylmethyl)-6,7,8,8a,9,14b-hexahydro-1,8a-dihydroxy-4,8-methanobenzofuro[2,3-a]pyrido[4,3-b]carbazol-14(5H)-yl]acetyl]amino]- (9CI) (CA INDEX NAME)

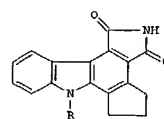
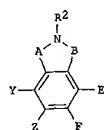
Absolute stereochemistry.

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:833276 CAPLUS
 DOCUMENT NUMBER: 135:371989
 TITLE: Preparation of novel multicyclic compounds and their amino acid derivatives as inhibitors of enzymes such as poly(ADP-ribose) polymerase
 INVENTOR(S): Ator, Mark A.; Bihovsky, Ron; Chatterjee, Sankar; Dunn, Derek; Hudkins, Robert L.
 PATENT ASSIGNEE(S): Cephalon, Inc., USA
 SOURCE: BCT Int. Appl., 2001 pp.
 DOCUMENT TYPE: CODEM: P1XXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085686	A2	20011115	WO 2001-US14996	20010509
WO 2001085686	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SH, TD, TG				
US 2002028815	A1	20020307	US 2001-850858	20010509
EP 1294725	A2	20030326	EP 2001-935215	20010509
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 2001010993	A	20030624	BR 2001-10993	20010509
NO 200205376	A	20030108	NO 2002-6376	20021108
PRIORITY APPL. INFO.: US 2000-202947P P 20000509				
US 2001-850858 A 20010508				
WO 2001-US14996 W 20010509				

OTHER SOURCE(S): MARPAT 135:371989
 GI



AB The title compds. such as penta[a]pyrrolo[3,4-c]carbazole, and hexano[a]pyrrolo[3,4-c]carbazole, pyrrolo[3,4-c]carbazole, and furano[a,3,2]pyrrolo[3,4-c]carbazole derivs. [1: A, B = CO, CH(OR3), CH(SR3), CH2, CHR3, CHR3CHR4, CR3R4, COR3, N(CR3), SO, SO2 (wherein R3, R4 = H, optionally substituted lower alkyl or aryl); Y and Z, together with the carbon to which they are attached, form an (un)substituted mono- or bicyclic aryl or bicyclic heteroaryl, or C3-5 heteroaryl; E, F = lower

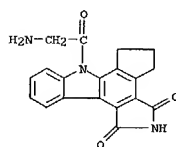
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
alkyl or E and F, together with the carbon to which they are attached, form an (un)substituted C4-7 cycloalkyl, C3-6 heterocycloalkyl or heteroaryl, or an (un)substituted heterocycloalkyl endocyclically comprising at least one group G (wherein G = O, S, SO, SO₂, NR₂, NR₂CO, NR₂CONR₃, NR₂SO₂, NR₃SO₂; R₂ = H, optionally substituted lower alkyl or alkanoyl, CHO, acetyl, lower alkylsulfonyl, arylsulfonyl, an optionally protected amino acid)] are prepd. These compds. are effective in the treatment of diseases or disease states related to the activity of enzymes such as poly(ADP-ribose) polymerase (PARP), vascular endothelial growth factor receptor kinase (VEGFR2 kinase), and MLK3 kinase (a member of the mixed lineage kinase family), including, for example, traumatic central nervous system injuries, neurodegenerative diseases (in particular Parkinson's, Huntington's, or Alzheimer's diseases), inflammation, cerebral or cardiac ischemia, endotoxic shock, diabetes, or cellular proliferative disorders (in particular cancer, solid tumors, diabetic retinopathy, intraocular neovascular syndromes, macular degeneration, rheumatoid arthritis, psoriasis, or endometriosis). They also suppress the formation of blood vessels (angiogenesis) and prevent neuronal degradn. assocd. with traumatic central nervous system injuries. Thus, 2H-1,3,4,5,6,7-hexahydrocyclopenta[a]pyrrolo[3,4-c]carbazole-1,3-dione (II; R = H) (prepn. given) was treated with NaH in DMF at room temp. for 30 min and condensed with a stirred mixt. of Boc-Lys(Boc)-OH dicyclohexylamine salt, TBUT, N-Methylmorpholine, and DMF at room temp. for 1 h, followed by treatment of the product with 4 N HCl in dioxane to give II (R = H-Lys). II (R = H-Lys) showed IC₅₀ of .mu.g/mL against of 22 nM against PARP.

IT 374069-19-9P
Rt: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)

RN 374069-19-9 CAPLUS
CN Carbamic acid, [(1S)-1-[(1,2,3,4,5,6-hexahydro-1,3-dioxo-7H-cyclopenta[a]pyrrolo[3,4-c]carbazol-7-yl)carbonyl]-1,5-pentanediy]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

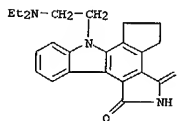
Absolute stereochemistry.

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-(aminoacetyl)-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

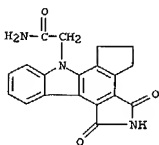


● 1 HCl

RN 374069-13-3 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[2-(diethylamino)ethyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

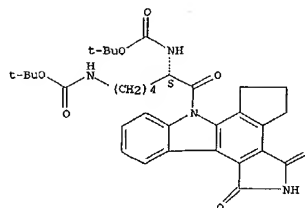


RN 374069-16-6 CAPLUS
CN 7H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-7-acetamide, 1,2,3,4,5,6-hexahydro-1,3-dioxo- (9CI) (CA INDEX NAME)



RN 374069-20-2 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

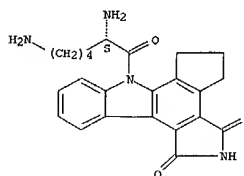
L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT 374069-09-7P 374069-11-1P 374069-13-3P
374069-16-6P 374069-20-2P 374070-85-6P
374070-86-7P 374070-87-8P 374070-89-0P
374070-90-3P
Rt: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel multicyclic compds. and their amino acid derivs. as inhibitors of enzymes for treatment of diseases related to enzymes such as poly(ADP-ribose) polymerase, VEGFR2 kinase, and MLK3 kinase)

RN 374069-09-7 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)

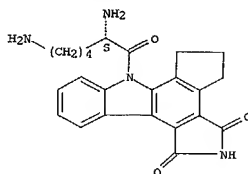
Absolute stereochemistry.



● 2 HCl

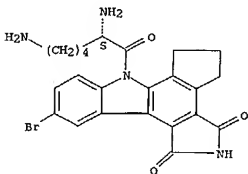
RN 374069-11-1 CAPLUS

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
Absolute stereochemistry.



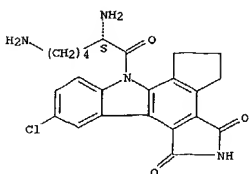
RN 374070-85-6 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 10-bromo-7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374070-86-7 CAPLUS
CN 1H-Cyclopenta[a]pyrrolo[3,4-c]carbazole-1,3(2H)-dione, 10-chloro-7-[(2S)-2,6-diamino-1-oxohexyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

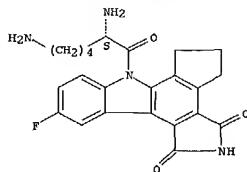
Absolute stereochemistry.



L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

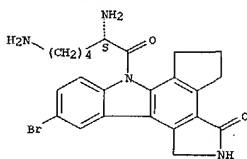
RN 374070-87-8 CAPLUS
 CN 1H-Cyclopenta[*a*]pyrrolo[3,4-*c*]carbazole-1,3(2H)-dione,
 7-[(2*S*)-2,6-diamino-1-oxohexyl]-10-fluoro-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 374070-89-0 CAPLUS
 CN 3H-Cyclopenta[*a*]pyrrolo[3,4-*c*]carbazol-3-one, 10-bromo-7-[(2*S*)-2,6-diamino-1-oxohexyl]-1,2,4,5,6,7-hexahydro-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

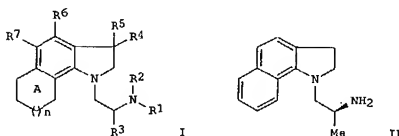
RN 374070-90-3 CAPLUS
 CN 1H-Cyclopenta[*a*]pyrrolo[3,4-*c*]carbazole-10-carbonitrile,
 7-[(2*S*)-2,6-diamino-1-oxohexyl]-2,3,4,5,6,7-hexahydro-3-oxo-,
 dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

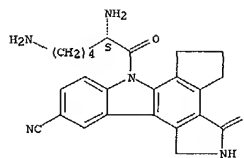
ACCESSION NUMBER: 2001:137191 CAPLUS
 DOCUMENT NUMBER: 134:193338
 TITLE: Preparation and use of condensed indoline derivatives and their use as 5-HT₂ in particular 5-HT_{2C} receptor ligands
 INVENTOR(S): Roffey, Jonathan Richard Anthony; Davidson, James Edward Paul; Mansell, Howard Langham; Hamlyn, Richard John; Adams, David Reginald
 PATENT ASSIGNEE(S): Vernalis Research Limited, UK
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PTKXK2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012602	A1	20010222	WO 2000-GB3008	20000804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013314	A	20020402	BR 2000-13314	20000804
EP 1202964	A1	20020508	EP 2000-951696	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003507366	T2	20030225	JP 2001-517500	20000804
ZA 2001010218	A	20021212	ZA 2001-10218	20011212
PRIORITY APPLN. INFO.: GB 1999-18965 A 19990811				
WO 2000-GB3008 W 20000804				
OTHER SOURCE(S): MARPAT 134:193338				
GI				



AB Novel compds. I and use thereof are claimed [wherein: R1, R2 are H, alkyl; R3 is alkyl; R4, R5 are H, alkyl; R6, R7 are H, halo, OH, alkyl, aryl, NH2, alkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, alkylsulfonyl, alkylsulfonyl, nitro, carbonitrile, carbo-alkoxy, carbo-aryloxy and carboxyl; A is a 5- or 6-membered (un)satd. (hetero)cycle (n is 1 or 2)]. Eleven examples are given. The synthesis of II proceeded by alkylation of benz[*g*]indole with the corresponding N-tert-butoxycarbonyl-protected

L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

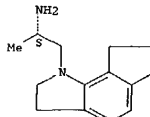


● 2 HCl

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

sidechain. The resulting indole was converted to the indoline with sodium cyanoborohydride in acetic acid. Deprotection with trifluoroacetic acid furnished II as an oil and isolation of a solid as its hemi-fumarate deriv. Comps. I showed affinity for 5-HT_{2A}, 5-HT_{2B} and 5-HT_{2C} receptors in a CHO cell line. Compd. II had a K_i of 107 nM in a radiolabeled [3H]-5-HT assay. Treatment of disorders of the central nervous system; cardiovascular disorders; gastrointestinal disorders; diabetes insipidus, and sleep apnea, and particularly the treatment of obesity are claimed uses of compds. I.
 IT 327183-08-4P 327183-09-5P 327183-10-8P
 327183-11-9P 327183-12-0P 327183-13-1P
 327183-17-5P 327183-18-6P 327185-05-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIGL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)
 RN 327183-08-4 CAPLUS
 CN Cyclopent[*g*]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

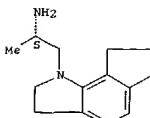


RN 327183-09-5 CAPLUS
 CN Cyclopent[*g*]indole-1(2H)-ethanamine, 3,6,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2*E*)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-08-4
 CMF C14 H20 N2

Absolute stereochemistry.

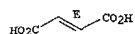


CM 2

CRN 110-17-8

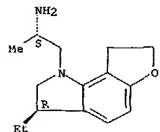
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CMF C4 H4 O4

Double bond geometry as shown.



RN 327183-10-8 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

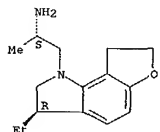


RN 327183-11-9 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3R)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-10-8
CMF C15 H22 N2 O

Absolute stereochemistry.

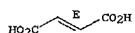


CM 2

CRN 110-17-8
CMF C4 H4 O4

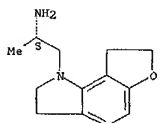
Double bond geometry as shown.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 327183-17-5 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, dihydrochloride, (.alpha.S)- (9CI) (CA INDEX NAME)

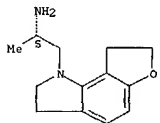
Absolute stereochemistry.



● 2 HCl

RN 327183-18-6 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



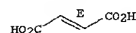
RN 327183-05-7 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 327183-18-6
CMF C13 H18 N2 O

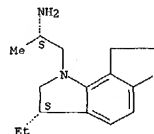
Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 327183-12-0 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

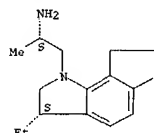


RN 327183-13-1 CAPLUS
CN 1H-Furo[2,3-g]indole-1-ethanamine, 3-ethyl-2,3,7,8-tetrahydro-.alpha.-methyl-, (.alpha.S,3S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 327183-12-0
CMF C15 H22 N2 O

Absolute stereochemistry.

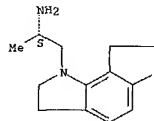


CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

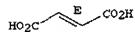
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

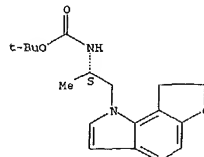
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT 327183-27-7P 327183-28-8P 327183-62-0P
327183-63-1P 327183-66-4P 327183-67-5P
327183-68-6P
RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and use of condensed indoline derivs. and their use as 5-HT receptor ligands)
RN 327183-27-7 CAPLUS
CN Carbamic acid, [(1S)-2-(7,8-dihydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

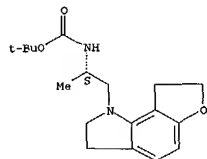
Absolute stereochemistry.



RN 327183-28-8 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

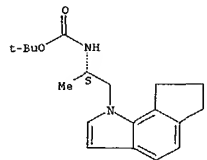
Absolute stereochemistry.

L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



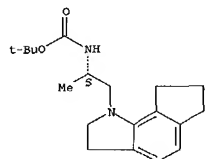
RN 327183-62-0 CAPLUS
 CN Carbamic acid, [(1S)-2-(7,8-dihydrocyclopent[gl]indol-1(6H)-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



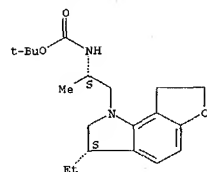
RN 327183-63-1 CAPLUS
 CN Carbamic acid, [(1S)-1-methyl-2-(3,6,7,8-tetrahydrocyclopent[gl]indol-1(2H)-yl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-66-4 CAPLUS

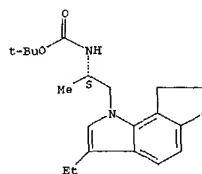
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

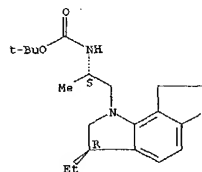
L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN Carbamic acid, [(1S)-2-(3-ethyl-7,8-dihydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-67-5 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3R)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 327183-68-6 CAPLUS
 CN Carbamic acid, [(1S)-2-[(3S)-3-ethyl-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

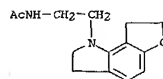
Absolute stereochemistry.

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:183599 CAPLUS
 DOCUMENT NUMBER: 132:289039
 TITLE: Pharmacological characterization of human recombinant melatonin mtl and MT2 receptors
 AUTHOR(S): Browning, Christopher; Beresford, Isabel; Fraser, Neil; Giles, Heather
 CORPORATE SOURCE: Receptor Pharmacology Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK
 SOURCE: British Journal of Pharmacology (2000), 129(5), 877-886
 CODEN: BJPCRM; ISSN: 0007-1188
 PUBLISHER: Nature Publishing Group
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The authors have pharmacol. characterized recombinant human mtl and MT2 receptors, stably expressed in Chinese hamster ovary cells (CHO-mtl and CHO-MT2), by measurement of [³H]-melatonin binding and forskolin-stimulated cAMP prodn. [³H]-melatonin bound to mtl and MT2 receptors with pK_D values of 9.89 and 9.56 and B_{max} values of 1.20 and 0.82 pmol mg⁻¹ protein, resp. While most melatonin receptor agonists had similar affinities for mtl and MT2 receptors, a no. of putative antagonists had substantially higher affinities for MT2 receptors, including luzindole (11-fold), GR128107 (23-fold) and 4-P-PDOT (61-fold). In both CHO-mtl and CHO-MT2 cells, melatonin inhibited forskolin-stimulated accumulation of cAMP in a concn.-dependent manner (pIC₅₀ 9.53 and 9.74, resp.) causing 83 and 64% inhibition of cAMP prodn. at 100 nM, resp. The potencies of a range of melatonin receptor agonists were decd. At MT2 receptors, melatonin, 2-iodomelatonin and 6-chloromelatonin were essentially equipotent, while at the mtl receptor these agonists gave the rank order of potency of 2-iodomelatonin > melatonin > 6-chloromelatonin. In both CHO-mtl and CHO-MT2 cells, melatonin-induced inhibition of forskolin-stimulated cAMP prodn. was antagonized in a concn.-dependent manner by the melatonin receptor antagonist luzindole, with pA₂ values of 5.75 and 7.64, resp. Melatonin-mediated responses were abolished by pre-treatment of cells with pertussis toxin, consistent with activation of Gi/Go G-proteins. This is the first report of the use of [³H]-melatonin for the characterization of recombinant mtl and MT2 receptors. The authors' results demonstrate that these receptor subtypes have distinct pharmacol. profiles.

IT 170729-12-1, GR196425
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (pharmacol. characterization of human recombinant melatonin mtl and MT2 receptors)
 RN 170729-12-1 CAPLUS
 CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



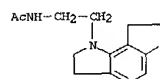
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:765097 CAPLUS
 DOCUMENT NUMBER: 132:31090
 TITLE: Novel non-indolic melatonin receptor agonists differentially entrain endogenous melatonin rhythm and increase its amplitude
 AUTHOR(S): Drijfhout, Willem J.; De Vries, Jan B.; Homan, Evert J.; Brons, Heleen F.; Copinga, Swier; Gruppen, Gert; Beresford, Isabel J. M.; Hagan, Russell M.; Grol, Cor J.; Westerink, Ben H. C.
 CORPORATE SOURCE: University Centre for Pharmacy, Department of Medicinal Chemistry, University of Groningen, Groningen, 9713, Neth.
 SOURCE: European Journal of Pharmacology (1999), 382(3), 157-166
 CODEN: EJPHAZ; ISSN: 0014-2999
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB In this study the authors have examd. the ability of melatonin and four synthetic melatonin receptor agonists to entrain endogenous melatonin secretion in rats, free running in const. darkness. The circadian melatonin profile was measured by transpineal microdialysis, which not only reveals the time of onset and end of prodn. (phase), but also the amplitude of the rhythm. Exogenous melatonin given at the onset of subjective darkness (clock time 12 h) was effective to entrain endogenous melatonin prodn. Only one agonist, 2-chloroacetamido-8-methoxytetralin (AH-017), mimicked this action. Two other agonists, 4-methoxy-2-(methylene propylamide)indan (GG-012) and N-[2,3,7,8-tetrahydro-1-H-furo[2,3-g]indol-1-yl]ethyl]acetamide (GR196429), induced a phase-delay under free running conditions, possibly by increasing tau (.tau.) period. One agonist, 2-acetamido-8-methoxytetralin (AH-001) did not show any phase effect on the free running rhythm. Unexpectedly, all melatonin receptor agonists increased the amplitude of melatonin secretion. The amt. of the increase varied from just below the level of significance (AH-001) to an approx. 2-fold increase (GG-012 and GR196429). This is in clear contrast to entrainment with melatonin, which significantly decreased the amplitude. It is hypothesized that entrainment and effects on amplitude of melatonin secretion are mediated by different mechanisms which can be differentially modulated using specific ligands.

IT 170729-12-1, GR196429
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (non-indolic melatonin receptor agonists differentially entrain endogenous melatonin rhythm and increase amplitude)
 RN 170729-12-1 CAPLUS
 CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9C1)
 (CA INDEX NAME)



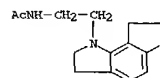
L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1998:727253 CAPLUS
 DOCUMENT NUMBER: 130:47746
 TITLE: Pharmacological characterization of melatonin mtl receptor-mediated stimulation of [35S]-GTP.gamma.S binding
 AUTHOR(S): Beresford, Isabel J. M.; Harvey, Fiona J.; Hall, David A.; Giles, Heather
 CORPORATE SOURCE: Receptor Pharmacology, Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK
 SOURCE: Biochemical Pharmacology (1998), 56(9), 1167-1174
 CODEN: BCPAC6; ISSN: 0006-2952
 PUBLISHER: Elsevier Science Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The activation of G-proteins by melatonin mtl receptors was studied by measuring [35S]-guanosine-5'-[3-thiotriphosphate] ([35S]-GTP.gamma.S) binding to membranes prepd. from Chinese hamster ovary (CHO) cells stably expressing human mtl receptors. Melatonin stimulated [35S]-GTP.gamma.S binding in a concn.-dependent manner (pEC50, 8.77+-0.02). The optimal (212+-4%) increase over basal levels of binding (basal = 100%) was obsd. following incubation of membranes (12.5 .mu.g protein/well) for 120 min at 30.degree. with [35S]-GTP.gamma.S (0.1 nM) in the presence of GDP (10 .mu.M), NaCl (100 mM), and MgCl2 (10 mM). Melatonin analogs stimulated [35S]-GTP.gamma.S binding with a rank order (2-iodomelatonin > melatonin = S20098 > GR196429 > 6-chloromelatonin = 6-hydroxymelatonin .mchgt. N-acetylserotonin .gtoreq. GR135531 = mtl luzindole = 5-HT = 0), which was identical to their affinities for the high affinity state of the receptor (correlation coeff. 0.94). All agonists evoked similar max. increases in [35S]-GTP.gamma.S binding. EC50 values were 14- to 63-fold lower than binding affinities. The melatonin receptor antagonist luzindole (0.1-10 .mu.M) evoked a parallel rightward shift in the melatonin concn.-response curve, with a pKB of 7.19+-0.13, which is similar to its affinity in radioligand binding studies for human mtl receptors. Stimulation of [35S]-GTP.gamma.S binding was abolished by pretreatment of cells with pertussis toxin (18 h, 100 ng/mL) prior to prepn. of membranes. Melatonin was without effect in CHO cells which lacked the mtl receptor. Thus, melatonin and melatonin analogs stimulate [35S]-GTP.gamma.S binding with a profile which is consistent with binding to mtl receptors causing activation of Gi/Go G-proteins.

IT 170729-12-1, GR196429
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (melatonin and melatonin analogs stimulate [35S]-GTP.gamma.S binding with a profile which is consistent with binding to mtl receptors causing activation of Gi/Go G-proteins)
 RN 170729-12-1 CAPLUS
 CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9C1)
 (CA INDEX NAME)

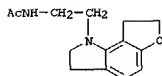


REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:394595 CAPLUS
DOCUMENT NUMBER: 129:117807
TITLE: GR196429: a nonindolic agonist at high-affinity melatonin receptors
AUTHOR(S): Beresford, Isabel J. M.; Browning, Christopher; Starkey, Sarah J.; Brown, Jason; Foord, Steven M.; Coughlan, Josephine; North, Peter C.; Dubocovich, Margarita L.; Hagan, Russell M.
CORPORATE SOURCE: Medicines Research Centre, Glaxo Wellcome Research and Development, Ltd., Hertfordshire, UK
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1998), 285(3), 1239-1245
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]acetamide (GR196429) is a novel, nonindolic melatonin receptor agonist. GR196429 had high affinity for human mtl (pKi 9.9) and MT2 (pKi 9.8) receptors expressed in Chinese hamster ovary cells and for 2-[125I]-iodomelatonin binding sites in human cerebellum, guinea pig superior colliculus and hypothalamus and chicken retina and tectum (pKi 8.8-9.5). GR196429 was inactive at a wide range of other hormone and neurotransmitter receptors. In Chinese hamster ovary cells expressing human mtl or MT2 receptors, both melatonin and GR196429 dose-dependently inhibited forskolin-stimulated cAMP accumulation. In rabbit isolated retina, GR196429 inhibited calcium-dependent [3H]-dopamine release with potency (IC50 30 pM) and max. effect (76.1+-5% at 1 nM) similar to those of melatonin. The response was antagonized by the melatonin receptor antagonist luzindole (1 μM). In slices of rat brain suprachiasmatic nucleus, perfusion (1 h) with GR196429 at zeitgeber time 10 phase advanced the circadian peak in neuronal activity measured on the following day, with a max. phase advance of 2.7+-0.3 h at 10 pM and an EC50 of 0.6 pM, results that indicated a melatonin-like action on the phase of the circadian clock. CNS penetration and duration of receptor occupancy was detd. in an ex vivo radioligand binding assay. In membranes of guinea pig superior colliculus prepd. 30 min after administration of GR196429 (s.c.), 2-[125I]-iodomelatonin binding was inhibited with an ED50 of 0.04 mg/kg. After a dose of 1 mg/kg, binding was significantly inhibited for at least 3 h. Thus GR196429 is a potent and selective agonist at high-affinity melatonin receptors, which modulates circadian rhythms in an in vitro model of the circadian clock and which readily penetrates the CNS.
IT 170729-12-1, GR196429
RU: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(GR196429 as a nonindolic agonist at high-affinity melatonin receptors)
RN 170729-12-1 CAPLUS
CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



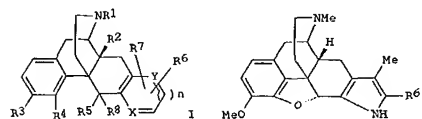
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L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:549379 CAPLUS
DOCUMENT NUMBER: 127:162011
TITLE: Preparation of heterocycle-condensed morphine derivatives for use as analgesics
INVENTOR(S): Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide
PATENT ASSIGNEE(S): Smithkline Beecham S.P.A., Italy; Dondio, Giulio; Ronzoni, Silvano; Gatti, Pier Andrea; Graziani, Davide
SOURCE: FCT Int. Appl., 49 pp.
CODEN: FIKK2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725331	A1	19970717	WO 1997-EP120	19970108
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BE, BU, CF, CG, CI, CM, GA, GN, ML, HR, NE, SN, TD, TG				
CA 2242609	AA	19970717	CA 1997-2242609	19970108
AU 3714410	A1	19970801	AU 1997-14410	19970108
AU 706370	B2	19990617		
EP 880526	A1	19981202	EP 1997-901009	19970108
EP 880526	B1	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
CN 1213372	A	19990407	CN 1997-192879	19970108
CN 1090190	B	20020904		
BR 9707136	A	19990831	BR 1997-7136	19970108
NZ 326331	A	20000128	NZ 1997-326331	19970108
JP 2000083019	T2	20000314	JP 1997-524871	19970108
AT 229958	E	20030115	AT 1997-901009	19970108
ES 2188888	T3	20030701	ES 1997-901009	19970108
ZA 9700172	A	19980709	ZA 1997-172	19970109
NO 9803169	A	19980909	NO 1998-3169	19980709
US 6365594	B1	20020402	US 1999-101213	19990222
PRIORITY APPLN. INFO.:			IT 1996-MI29	A 19960110
			IT 1996-MI2291	A 19961105
			WO 1997-EP120	W 19970108

OTHER SOURCE(S): MARPAT 127:162011

GI



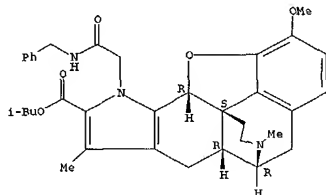
L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB Substituted mono heterocycle-condensed morphinoid derivs. I [R1 = H, alkyl, cycloalkyl, alkenyl, aryl, aralkyl; R2 = H, OH, alkoxy, halogen, NO2, amino, SH; R3 = H, alkyl, OH, alkoxy, halogen; R4 = R5 = H, OH, alkoxy, OPh, or R4R5 = O; R6 = carboxamide, acyl, thioacyl, carboxyl; R7 = H, alkyl, alkenyl, halogen; R8 = H, alkyl; X = Y = CH, O, S, NR1; n = 0, 1], potent and selective delta opioid agonists and antagonists, were prepd for use as analgesics and for treating pathol. conditions which, customarily, can be treated with agonists and antagonists of the delta opioid receptor. Thus, morphinoid 11 [R6 = COM(CMe2)CH2Ph] was prepd. by cyclization of 7,8-dihydrocodeinone and N-benzyl-N-isopropyl-2-phenylhydrazine. The morphinoid compds. showed affinities for the delta receptor ranging from 0.5 to 200 nM with delta selectivity ranging from 20 - 1500 times with respect to other opioid receptor types.

IT 193613-38-6R 193613-46-6R 193613-47-7R
 RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of heterocycle-condensed morphinoid derivs., potent and selective delta opioid agonists and antagonists, for analgesic and other pharmacol. uses)

RN 193613-38-6 CAPLUS
 CN 4,8-Methanobenzofuro[3,2-e]pyrrolo[2,3-g]isoquinoline-11-carboxylic acid, 5,6,7,8,8a,9,12,12b-octahydro-1-methoxy-7,10-dimethyl-12-[2-(2-oxo-2-(phenylmethyl)amino)ethyl]-, 2-methylpropyl ester, monohydrochloride, [8R-(4bS*,8.alpha.,8a.beta.,12b.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

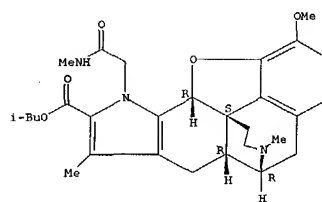


● HCl

RN 193613-46-6 CAPLUS
 CN 4,8-Methanobenzofuro[3,2-e]pyrrolo[2,3-g]isoquinoline-11-carboxylic acid, 5,6,7,8,8a,9,12,12b-octahydro-1-methoxy-7,10-dimethyl-12-[2-(2-methylamino)-2-oxoethyl]-, 2-methylpropyl ester, monohydrochloride, [8R-(4bS*,8.alpha.,8a.beta.,12b.beta.)]- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

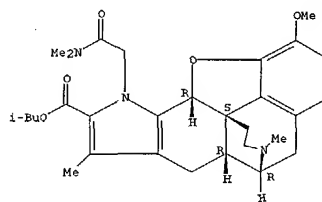
Absolute stereochemistry. Rotation (-).



● HCl

RN 193613-47-7 CAPLUS
 CN 4,8-Methanobenzofuro[3,2-e]pyrrolo[2,3-g]isoquinoline-11-carboxylic acid, 12-[2-(dimethylamino)-2-oxoethyl]-5,6,7,8,8a,9,12,12b-octahydro-1-methoxy-7,10-dimethyl-, 2-methylpropyl ester, monohydrochloride, [8R-(4bS*,8.alpha.,8a.beta.,12b.beta.)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:220137 CAPLUS

DOCUMENT NUMBER: 127:1057

TITLE: Melatonin receptor antagonists that differentiate between the human Mella and Mel1b recombinant subtypes are used to assess the pharmacological profile of the rabbit retina MLI presynaptic heteroreceptor
 AUTHOR(S): Dubocovich, Margarita L.; Masana, Monica L.; Jacob, Stancu; Sauri, Daniel M.
 CORPORATE SOURCE: Med. Sch., Northwestern University Chicago, Chicago, IL, 60611, USA
 SOURCE: Nauwys-Schmiedberg's Archives of Pharmacology (1997), 355(3), 365-375
 CODEN: NSAPCC; ISSN: 0028-1298
 PUBLISHER: Springer
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Subtype-selective agonists, partial agonists, and antagonists which distinguish the human recombinant Mella and Mel1b melatonin receptors expressed in COS-7 cells were identified. Melatonin receptor agonists showed higher affinity for competition of 2-[125I]-iodomelatonin binding for the Mel1b than the Mella melatonin receptor. The disocn. consts. (K_i) of 16 agonists detd. on the recombinant human Mella and Mel1b melatonin receptor subtypes showed a correlation. Six agonists showed 10-60-fold higher affinity for the Mel1b melatonin receptor as indicated by the affinity selectivity ratios (Mella/Mel1b). Disocn. consts. for competition of 11 partial agonists and antagonists for 2-[125I]-iodomelatonin binding were 15.5-362-fold higher for the Mel1b than for the Mella melatonin receptor. The lack of correlation between the pK_i values strongly suggest that the 2 human melatonin receptor subtypes can be distinguished pharmacol. The partial agonist 5-methoxyluzindole and the competitive melatonin receptor antagonists GR128107, 4-phenyl-2-chloroacetamidotetraline, 4-phenyl-2-acetamidotetraline, and 4-phenyl-2-propionamidotetraline are selective Mel1b melatonin receptor analogs as their affinity selectivity ratios (Mella/Mel1b) are >100. It is concluded that the 40% overall amino acid difference in the sequence of the human recombinant Mella and Mel1b melatonin receptors is reflected in distinct pharmacol. profiles for the subtypes. The pharmacol. profile of the presynaptic MLI melatonin heteroreceptor of rabbit retina mediating inhibition of the Ca²⁺-dependent release of dopamine was compared to that of the recombinant Mella and Mel1b melatonin receptors. Melatonin inhibited [3H]dopamine release by 50% (IC₅₀) at 20 μM with a maximal inhibitory effect (80%) at 1 nM. The partial agonists showed various degrees of efficacy while none of the competitive melatonin receptor antagonists did inhibit [3H]dopamine release on their own. The potency (IC₅₀) of full melatonin receptor agonists correlated with their affinity to compete for 2-[125I]-iodomelatonin binding to either the Mella or Mel1b human melatonin receptors. The apparent disocn. consts. (K_B) for partial agonists and antagonists to antagonize the inhibition of [3H]dopamine release mediated by activation of the MLI heteroreceptor by melatonin, correlated with the affinity consts. (K_i) for 2-[125I]-iodomelatonin binding detd. on the Mel1b but not the Mella subtype. These results demonstrate that the pharmacol. profile of the human recombinant Mel1b melatonin receptor is similar to that of the functional presynaptic melatonin heteroreceptor of rabbit retina, which is referred as an MLI subtype. It is concluded that the selective Mel1b melatonin partial agonists and antagonists described here can be used to identify melatonin receptor subtypes in native tissues and to search for subtype selective analogs with therapeutic potential.

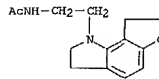
IT 170729-12-1, GR 196429

L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RI: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (pharmacol. profile of rabbit retina MLI presynaptic heteroreceptor by melatonin receptor antagonists distinguishing human recombinant Mella and Mel1b subtypes)

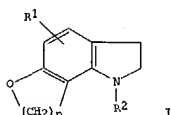
RN 170729-12-1 CAPLUS

CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



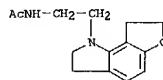
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1995:943453 CAPLUS
 DOCUMENT NUMBER: 123:340087
 TITLE: Preparation of indolines which are melatonin receptor agonists and antagonists
 INVENTOR(S): North, Peter Charles; Carter, Malcolm Clive
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9517405	A1	19950629	WO 1994-EP4220	19941220
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9410056	A	19951018	ZA 1994-10056	19941219
CA 2179402	AA	19950629	CA 1994-2179402	19941220
AU 9512743	A1	19950710	AU 1995-12743	19941220
AU 684877	B2	19980108		
EP 736028	A1	19961009	EP 1995-903817	19941220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
IL 112097	A1	19980615	IL 1994-112097	19941221
US 5633276	A	19970527	US 1996-652460	19960614
PRIORITY APPLN. INFO.: GB 1993-26192 19931222				
WO 1994-EP4220 19941220				
OTHER SOURCE(S): MARPAT 123:340087				
GI				

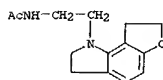


AB The title compds. [I; R1 = H, halogen, C1-6 alkyl; R2 = CH3R4(CH2)pNR5COR6; R3-R5 = H, C1-6 alkyl; R6 = C1-6 alkyl, C3-7 cycloalkyl; p = 1-4; n = 2-4], useful as melatonin receptor agonists and antagonists in the treatment of conditions assoc. with a disturbed functioning of the melatonin system [i.e., jet lag (no data), osteoporosis (no data), CNS disorders (no data), etc. (no data)], are prepd. and I-contg. formulations presented. Thus, 2-(5-chloro-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethylamine was amidated with Ac2O, producing

L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 N-[2-(5-chloro-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]acetamide, m.p. 147-149.degree., which demonstrated a IC50 against the binding of melatonin to rabbit retina of 0.004 nM.
 IT 170729-12-1P 170729-13-2P 170729-14-3P
 170729-15-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of indolines which are melatonin receptor agonists and antagonists)
 RN 170729-12-1 CAPLUS
 CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



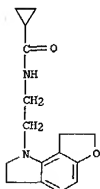
RN 170729-13-2 CAPLUS
 CN Acetamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



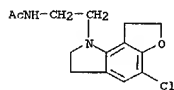
• HCl

RN 170729-14-3 CAPLUS
 CN Cyclopropanecarboxamide, N-[2-(2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

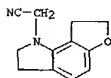
L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



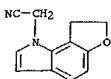
RN 170729-15-4 CAPLUS
 CN Acetamide, N-[2-(5-chloro-2,3,7,8-tetrahydro-1H-furo[2,3-g]indol-1-yl)ethyl]- (9CI) (CA INDEX NAME)



IT 170728-97-9P 170728-98-0P 170728-99-1P
 170729-08-5P 170729-09-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of indolines which are melatonin receptor agonists and antagonists)
 RN 170728-97-9 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-acetonitrile, 2,3,7,8-tetrahydro- (9CI) (CA INDEX NAME)

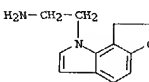


RN 170728-98-0 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-acetonitrile, 7,8-dihydro- (9CI) (CA INDEX NAME)

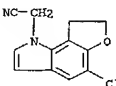


L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

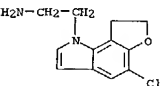
RN 170728-99-1 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-ethanamine, 7,8-dihydro- (9CI) (CA INDEX NAME)



RN 170729-08-5 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-acetonitrile, 5-chloro-7,8-dihydro- (9CI) (CA INDEX NAME)



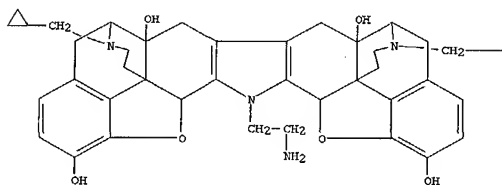
RN 170729-09-6 CAPLUS
 CN 1H-Furo[2,3-g]indole-1-ethanamine, 5-chloro-7,8-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1990:420280 CAPLUS
 DOCUMENT NUMBER: 113:20280
 TITLE: Isolation of kappa opioid receptor with an
 aminoethyl-nor-binaltorphimine (AE-norBNI) affinity
 column
 AUTHOR(S): Song, Z. H.; Barbas, D. P.; Portoghese, P. S.;
 Takemori, A. E.
 CORPORATE SOURCE: Dep. Pharmacol., Univ. Minnesota, Minneapolis, MN,
 55455, USA
 SOURCE: Progress in Clinical and Biological Research (1990),
 328(Int. Narc. Res. Conf. (INRC) '89), 69-72
 CODEN: PCBRD2; ISSN: 0361-7742
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB .Kappa.-Opioid receptors were isolated from guinea pig brains by affinity
 chromatog. on a column of aminoethylnorbinaltorphimine (AE-norBNI) coupled
 to activated agarose gel. The affinity column was specific for the
 .kappa.-opioid receptors in brain P2 fractions (which contain all 3 types
 of opioid binding, i.e., .mu., .kappa., and .delta.). Both satn. and
 displacement binding studies suggested that only .kappa. opioid receptor
 was isolated by this affinity column.
 IT 127808-82-6DE, reaction products with agarose gel
 RL: PREP (Preparation)
 (kappa opioid receptors isolation from brain by affinity chromatog. on
 column of)
 RN 127808-82-6 CAPLUS
 CN 4,8,11,15-Dimethano-20H-bisbenzofuro[2,3-a:3',2'-i]dipyrido[4,3-b:3',4'-
 h]carbazole-1,8a,10a,18-tetrol, 20-(2-aminoethyl)-7,12-
 bis(cyclopropylmethyl)-5,6,7,8,9,10,11,12,13,14,19a,20b-dodecahydro-,
 [8R-(4aS*,8a.alpha.,8a.beta.,10a.alpha.,11.beta.,14aS*,19a.alpha.,20b.beta.
)]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 PAGE 1-B

PAGE 1-A



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

54.85

203.61

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-7.81

-7.81

STN INTERNATIONAL LOGOFF AT 14:12:06 ON 24 SEP 2003